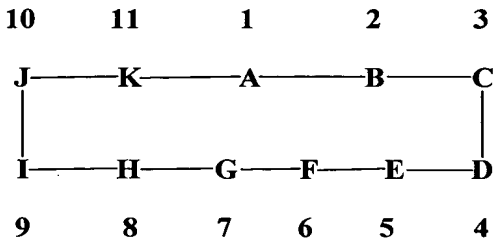
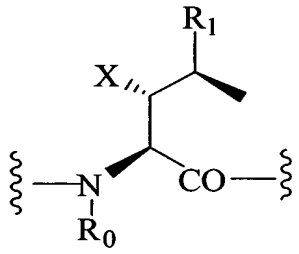
WHAT IS CLAIMED:

1. A compound of Formula (I):



Formula I

wherein A is an amino acid of Formula (II):



Formula II

wherein:

R_0 is H or CH_3;

R_1 = CHO;
$C(=O)OR_2$;
$C(O)NR_3R_4$;
CH=N-Y;
$CH(NR_5R_6)R_7$;
$CH(OR_8)R_9$;
$CH(OR_{10})_2$;
CH_2SR_{11};
$CH(SR_{12})_2$;
$CR_{13}R_{14}R_{15}$;
CH=CHC(=O)Me;
$CH_2CH_2C(=O)Me$;
$CH=CHCH(OR_{16})Me$;
$CH_2CH_2CH(OR_{16})Me$;
$CH=CHCH(NR_{17}R_{18})Me$;
$CH_2CH_2CH(NR_{17}R_{18})Me$;
CH=CHC(=N-Y)Me;
$CH_2CH_2C(=N-Y)Me$;

$CH=CHC(OR_{19})_2Me$;
$CH_2CH_2C(OR_{19})_2Me$;
$CH=CHC(=CR_{20}R_{21})Me$;
CH_2-$CH_2C(=CR_{20}R_{21})Me$;
$CH=CHC(SR_{22})_2Me$;
$CH_2CH_2C(SR_{22})_2Me$;
$CH=CR_{23}R_{24}$;
$CH_2CHR_{23}R_{24}$;
$CH=CHC(=O)NR_{25}R_{26}$;
$CH_2CH_2C(=O)NR_{25}R_{26}$;
$CH=CHC(=O)OR_{26}$;
$CH_2CH_2C(=O)OR_{26}$;
$CH=CHC(=O)CH_2CH_2NR_{27}R_{28}$;
$CH_2CH_2C(=O)CH_2CH_2NR_{27}R_{28}$;
$CH=CHC(=O)CH=CHNR_{29}R_{30}$;
$CH_2CH_2C(=O)CH=CHNR_{29}R_{30}$;
$CH=CH$-$C(OR_{31})R_{32}Me$;
$CH_2CH_2C(OR_{31})R_{32}Me$;
$CH=CHC(=O)CH_2C(OH)R_{33}R_{34}$; or
$CH_2CH_2C(=O)CH_2C(OH)R_{33}R_{34}$;

R_2 and R_{26} are the same or different and independently selected from the group consisting of:

hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3, R_4, R_5, R_6, R_{10}, R_{11}, R_{12}, R_{17}, R_{18}, R_{19}, R_{22}, R_{25}, R_{27}, R_{28}, R_{29}, and R_{30} are the same or different and independently selected from the group consisting of:

hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;

C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4, R_5 and R_6, R_{10}, R_{12}, R_{17} and R_{18}, R_{19}, R_{22}, R_{25} and R_{26}, R_{27} and R_{28}, R_{29} and R_{30} are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

R_8, R_{16}, and R_{31} are the same or different and independently selected from the group consisting of:
hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
alkanoyl;
alkenoyl;
alkynoyl;
aryloyl;
arylalkanoyl;
alkylaminocarbonyl;
arylaminocarbonyl;
arylalkylaminocarbonyl;
alkyloxycarbonyl;
aryloxycarbonyl; and
arylalkyloxycarbonyl;

R_7, R_9, R_{13}, R_{14}, R_{15}, R_{20}, R_{21}, R_{23}, R_{24}, R_{32}, R_{33}, and R_{34}, are the same or different and independently selected from the group consisting of:
hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;

substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

X = hydrogen;
hydroxyl; or
hydroxyl group derivatized with an alkanoyl, aryloyl, alkylaminocarbonyl, arylaminocarbonyl, arylalkylaminocarbonyl, alkyloxycarbonyl, aryloxycarbonyl, or arylalkyloxycarbonyl group;

Y = C_1-C_6 straight and branched chain alkyl;
C_3-C_6 straight and branched chain alkenyl;
arylalkyl;
heteroarylalkyl;
C_1-C_6 straight and branched chain alkyloxy;
aryloxy;
acyloxy;
arylalkyloxy;
C_1-C_6 straight and branched chain alkylamino;
arylamino;
arylalkylamino;
heteroarylamino;
heteroarylalkylamino;
C_1-C_6 straight and branched chain alkylcarboxamido;
arylcarboxamido;
heteroarylcarboxamido;
C_1-C_6 straight and branched chain alkylsulfonamido;
arylsulfonamido;
arylalkylsulfonamido;
heteroarylsulfonamido;
heteroarylalkylsulfonamido; or
$NH_2C(O)NH$;

CO- in Formula II is covalently bound to an α-amino group of B in Formula I to form an amide linkage, and -N-R_0 in Formula II is covalently bound to a carboxylic acid of K to form an amide linkage;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, wherein a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, wherein a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

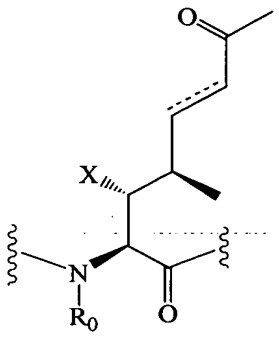
H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine;

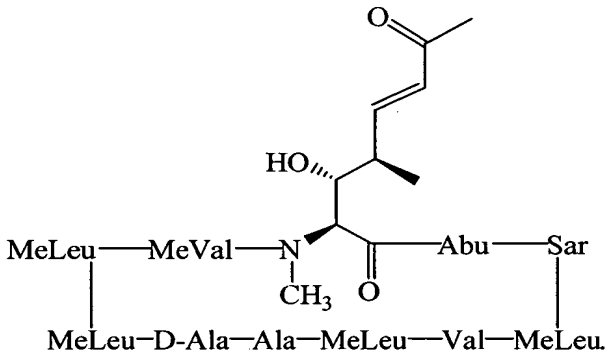
or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1, wherein A is an amino acid of Formula (III):

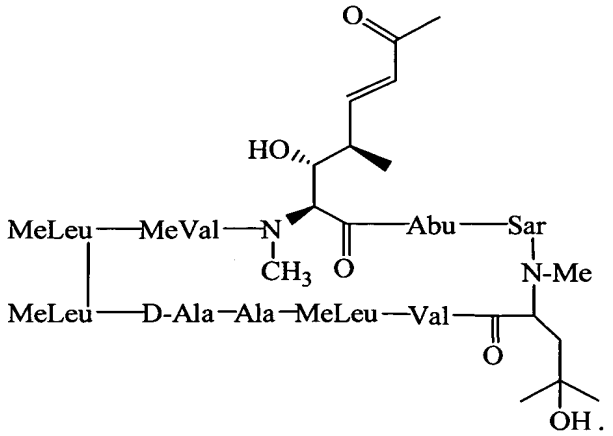


Formula III.

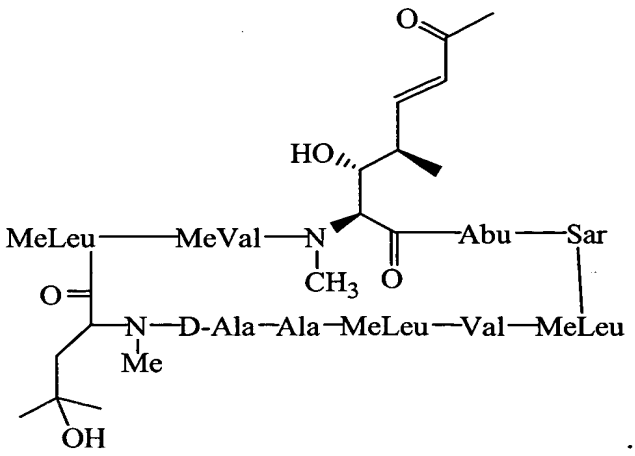
3. A compound according to claim 2, wherein the compound has the following formula:



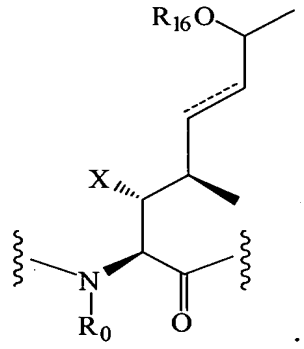
4. A compound according to claim 2, wherein the compound has the following formula:



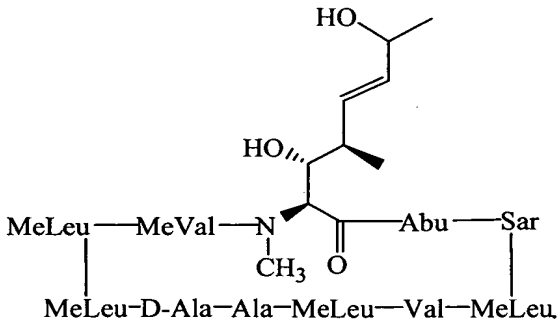
5. A compound according to claim 2, wherein the compound has the following formula:



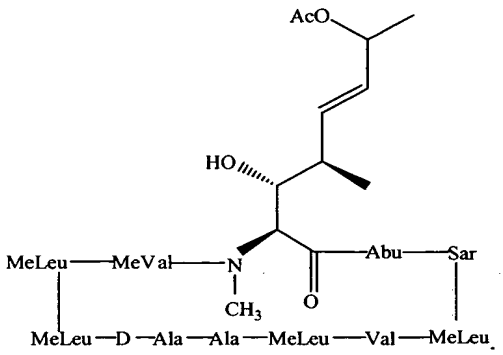
6. A compound according to claim 1, wherein A is an amino acid of the following formula:



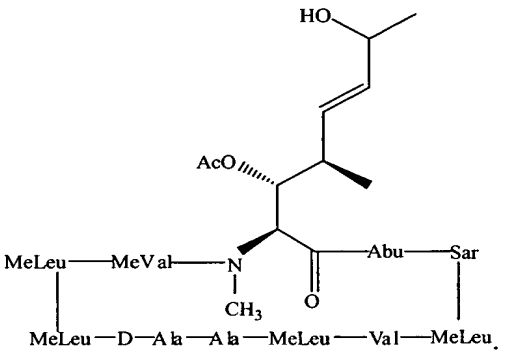
7. A compound according to claim 6, wherein the compound has the following formula:



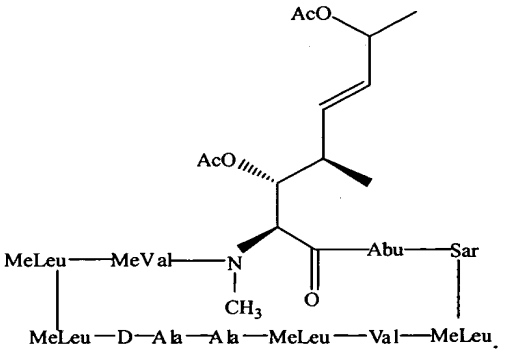
8. A compound according to claim 6, wherein the compound has the following formula:



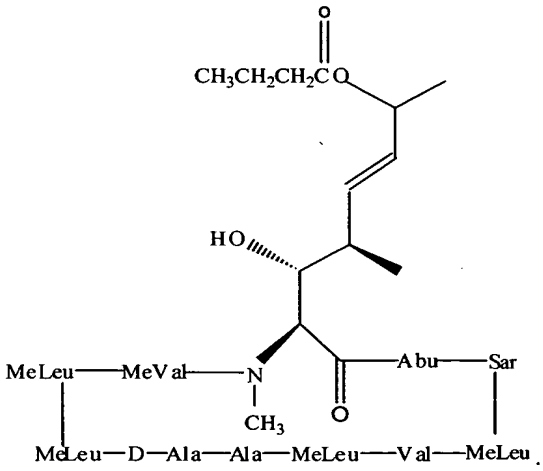
9. A compound according to claim 6, wherein the compound has the following formula:



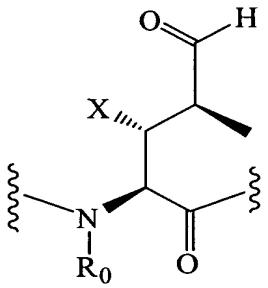
10. A compound according to claim 6, wherein the compound has the following formula:



11. A compound according to claim 6, wherein the compound has the following formula:

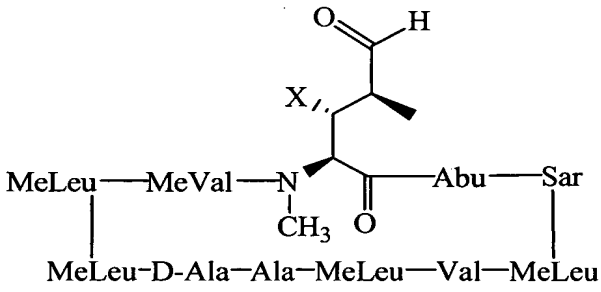


12. A compound according to claim 1, wherein A is an amino acid of Formula (V):



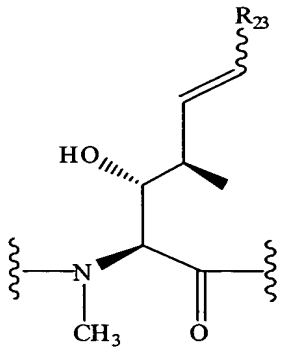
Formula V.

13. A compound according to claim 12, wherein the compound has the following formula:



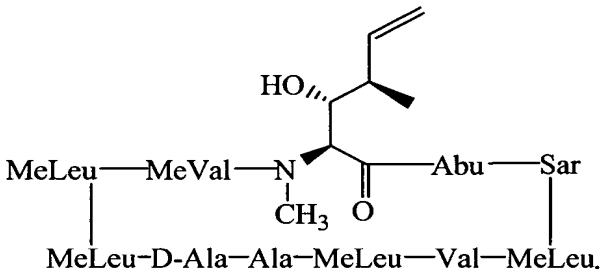
wherein X= OH or OAc.

14. A compound according to claim 1, wherein A is an amino acid of Formula (VI):

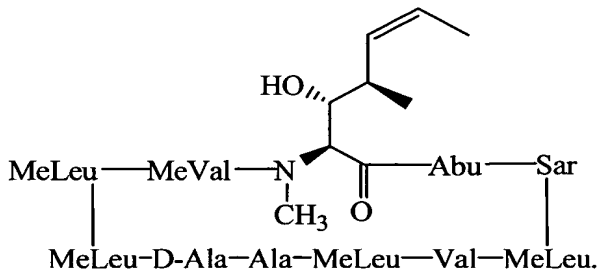


Formula VI.

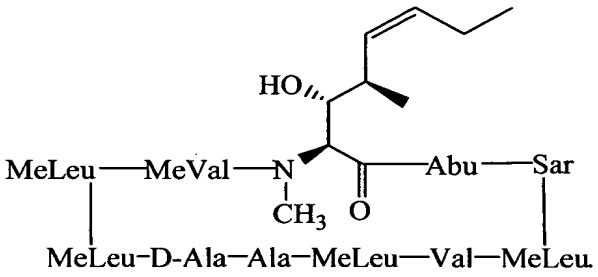
15. A compound according to claim 14, wherein the compound has the following formula:



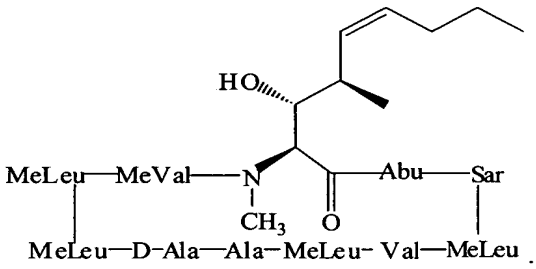
16. A compound according to claim 14, wherein the compound has the following formula:



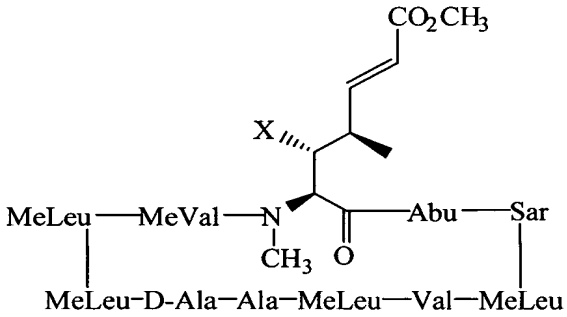
17. A compound according to claim 14, wherein the compound has the following formula:



18. A compound according to claim 14, wherein the compound has the following formula:

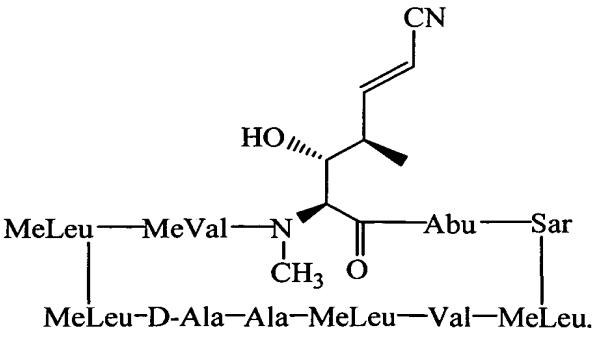


19. A compound according to claim 14, wherein the compound has the following formula:

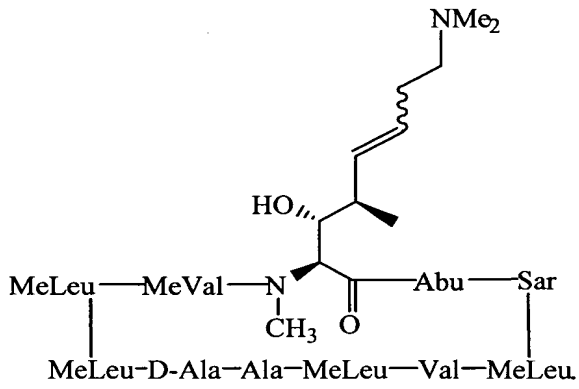


wherein
X= OH or OAc.

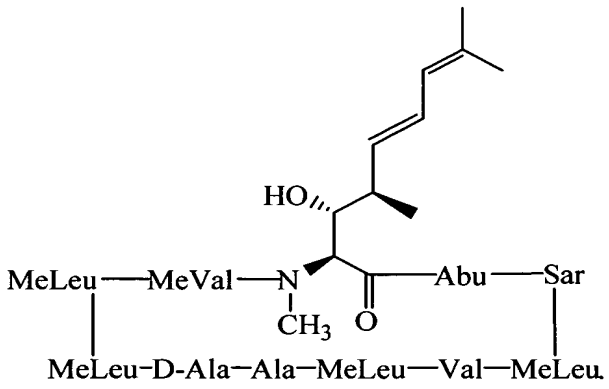
20. A compound according to claim 14, wherein the compound has the following formula:



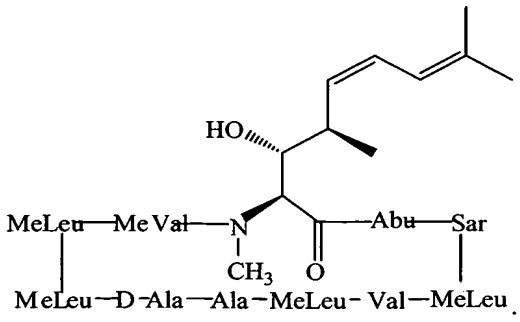
21. A compound according to claim 14, wherein the compound has the following formula:



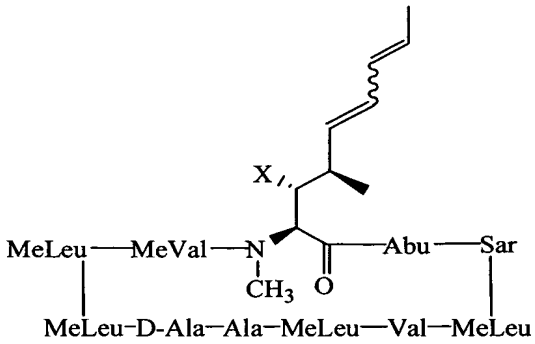
22. A compound according to claim 14, wherein the compound has the following formula:



23. A compound according to claim 14, wherein the compound has the following formula:

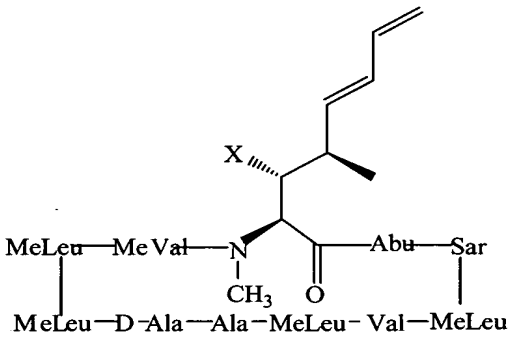


24. A compound according to claim 14, wherein the compound has the following formula:



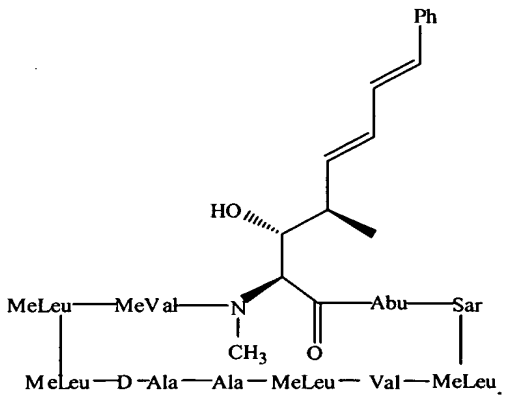
wherein
X= OH or OAc.

25. A compound according to claim 14, wherein the compound has the following formula:

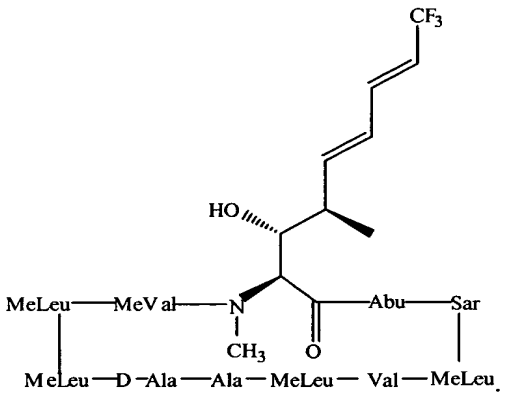


wherein
X= OH or OAc.

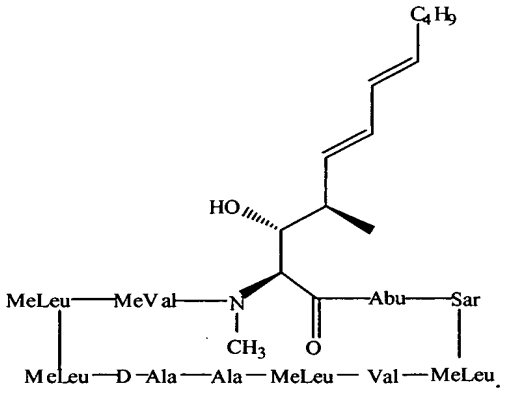
26. A compound according to claim 14, wherein the compound has the following formula:



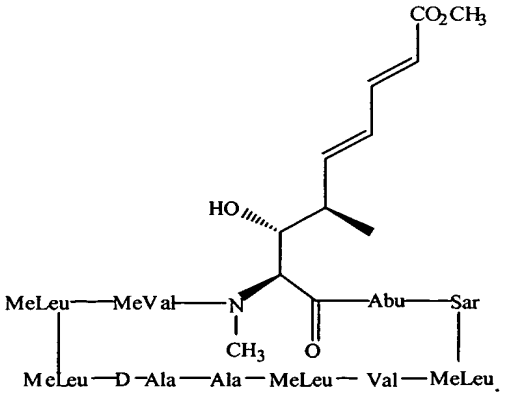
27. A compound according to claim 14, wherein the compound has the following formula:



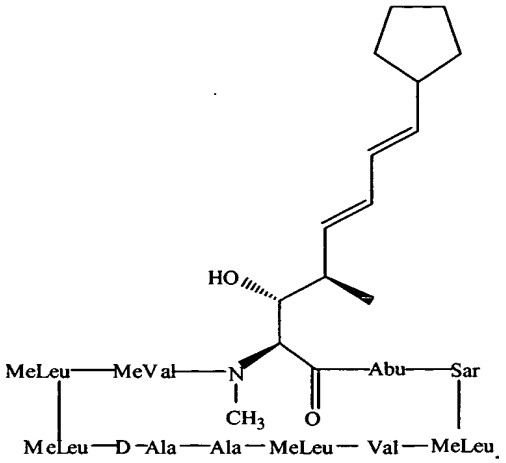
28. A compound according to claim 14, wherein the compound has the following formula:



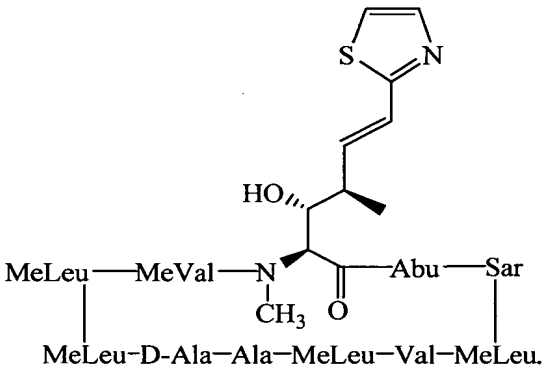
29. A compound according to claim 14, wherein the compound has the following formula:



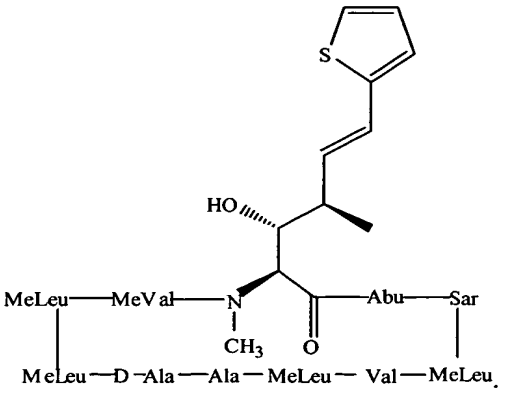
30. A compound according to claim 14, wherein the compound has the following formula:



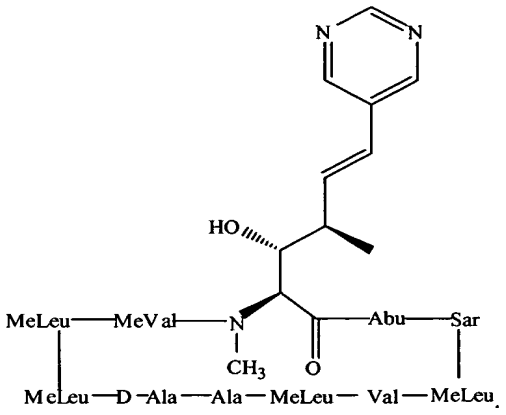
31. A compound according to claim 14, wherein the compound has the following formula:



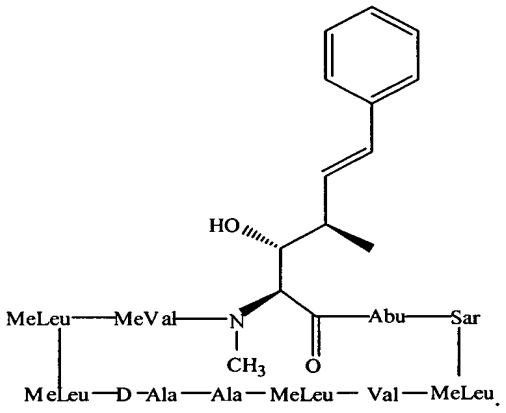
32. A compound according to claim 14, wherein the compound has the following formula:



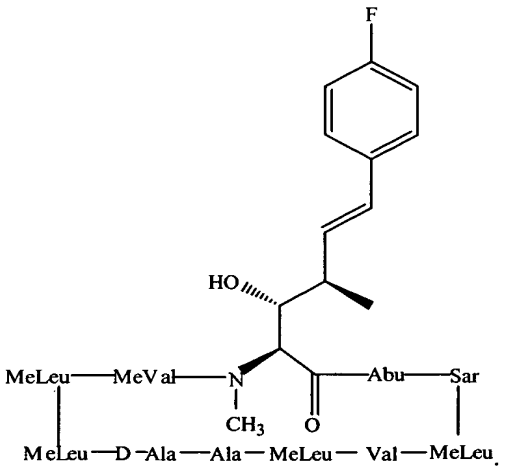
33. A compound according to claim 14, wherein the compound has the following formula:



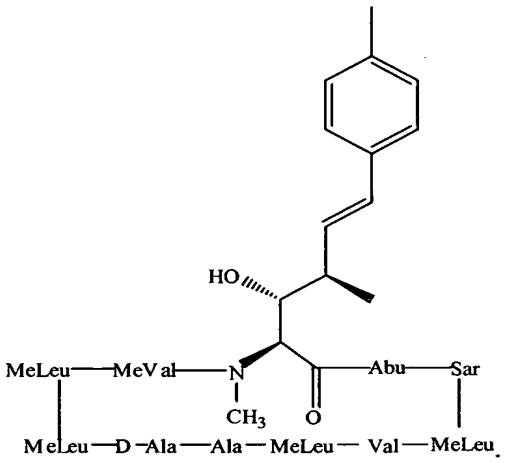
34. A compound according to claim 14, wherein the compound has the following formula:



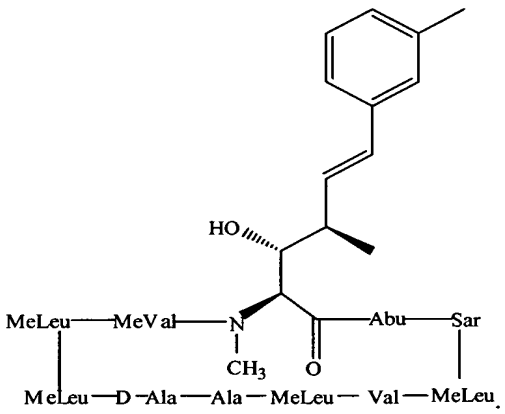
35. A compound according to claim 14, wherein the compound has the following formula:



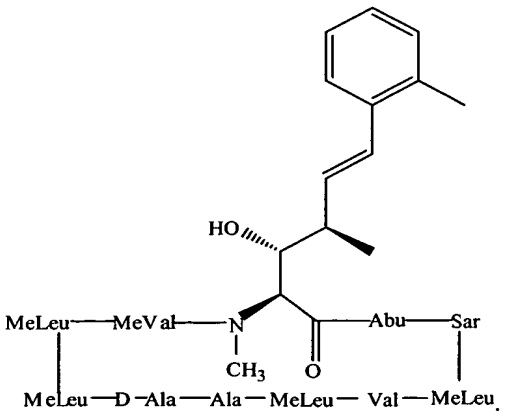
36. A compound according to claim 14, wherein the compound has the following formula:



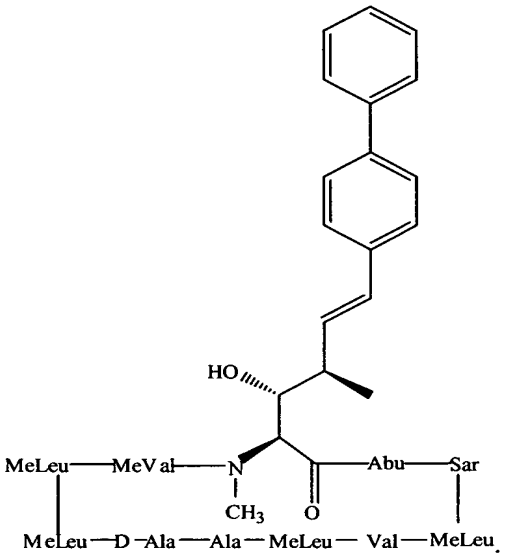
37. A compound according to claim 14, wherein the compound has the following formula:



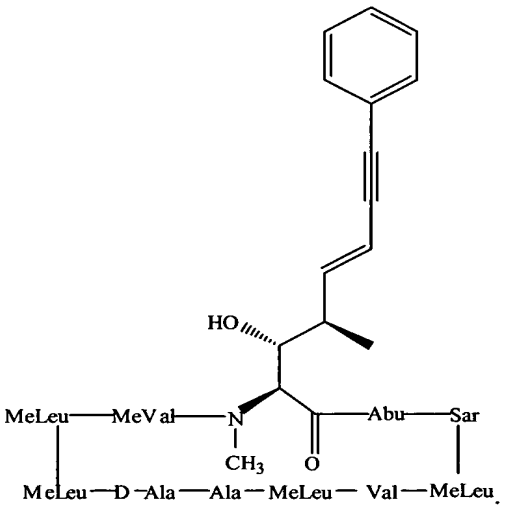
38. A compound according to claim 14, wherein the compound has the following formula:



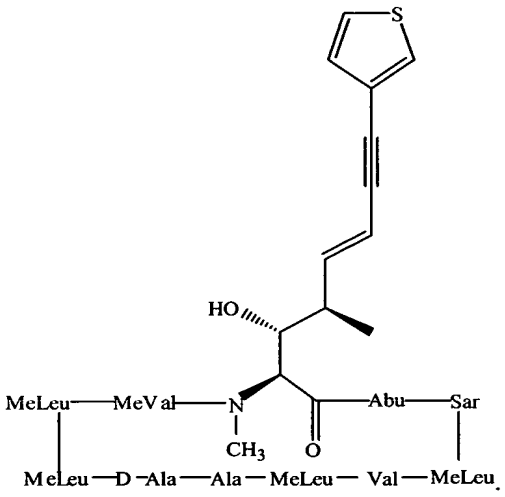
39. A compound according to claim 14, wherein the compound has the following formula:



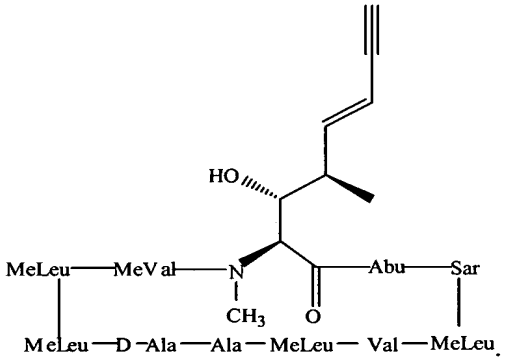
40. A compound according to claim 14, wherein the compound has the following formula:



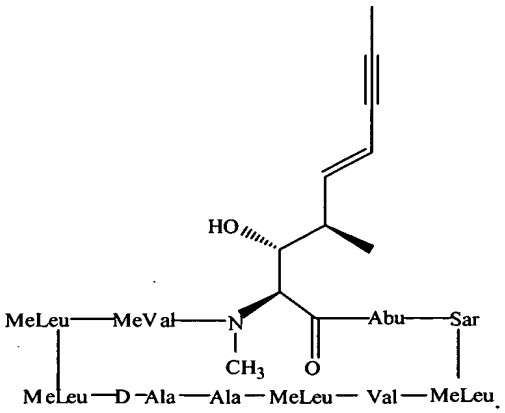
41. A compound according to claim 14, wherein the compound has the following formula:



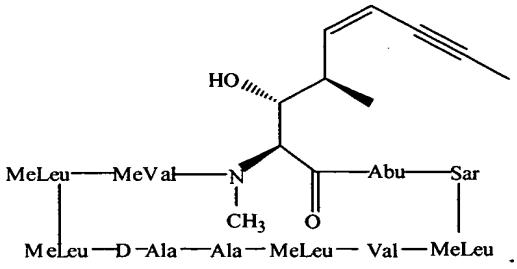
42. A compound according to claim 14, wherein the compound has the following formula:



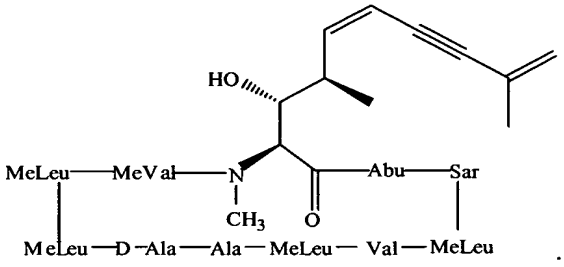
43. A compound according to claim 14, wherein the compound has the following formula:



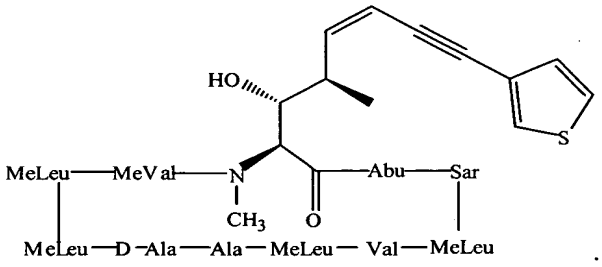
44. A compound according to claim 14, wherein the compound has the following formula:



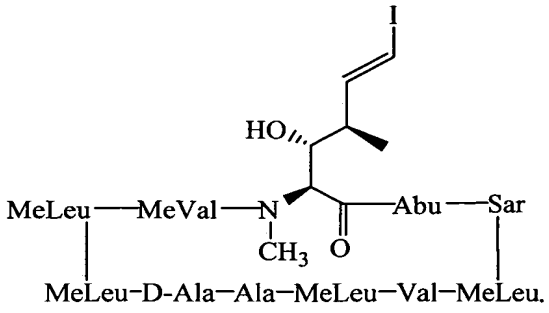
45. A compound according to claim 14, wherein the compound has the following formula:



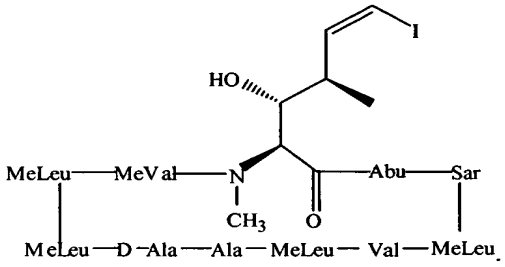
46. A compound according to claim 14, wherein the compound has the following formula:



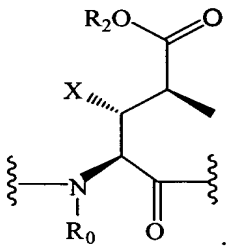
47. A compound according to claim 14, wherein the compound has the following formula:



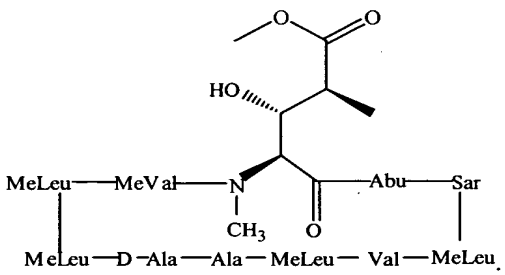
48. A compound according to claim 14, wherein the compound has the following formula:



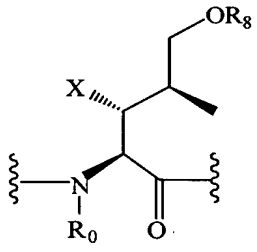
49. A compound according to claim 1, wherein A is an amino acid of the following formula:



50. A compound according to claim 49, wherein the compound has the following formula:

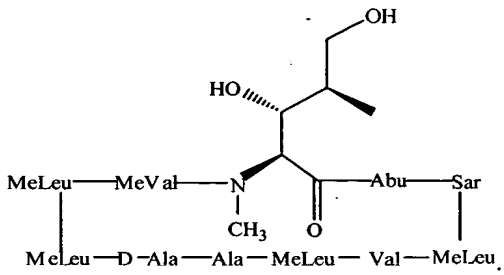


51. A compound according to claim 1, wherein A is an amino acid of Formula (VII):

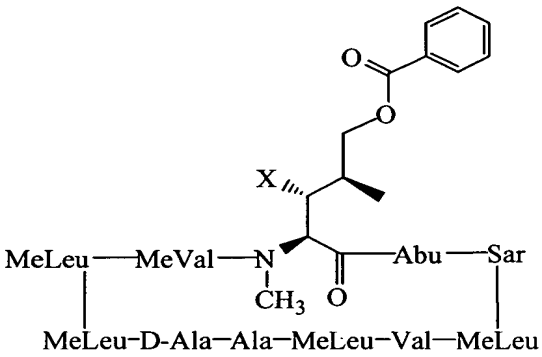


Formula VII.

52. A compound according to claim 51, wherein the compound has the following formula:

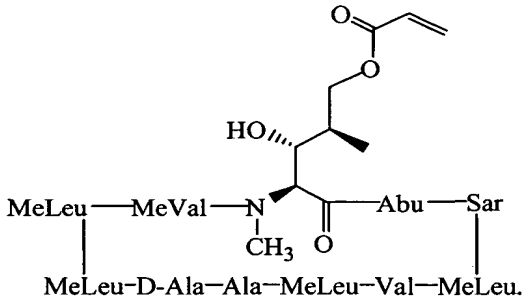


53. A compound according to claim 51, wherein the compound has the following formula:

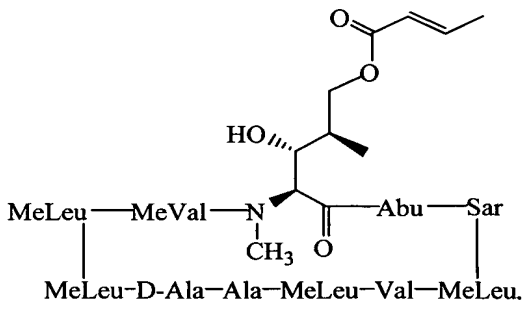


wherein
X= OH or OAc.

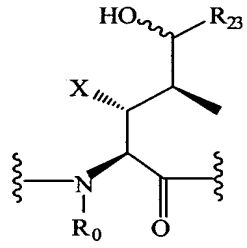
54. A compound according to claim 51, wherein the compound has the following formula:



55. A compound according to claim 51, wherein the compound has the following formula:

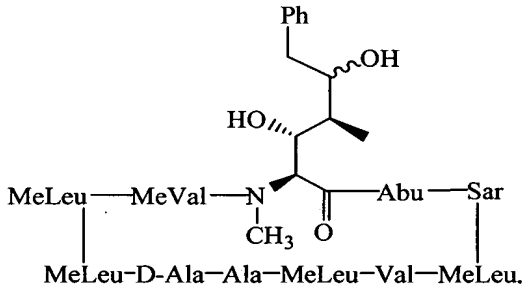


56. A compound according to claim 1, wherein A is an amino acid of Formula (IX):

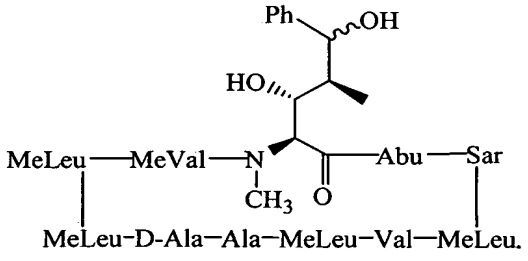


Formula IX.

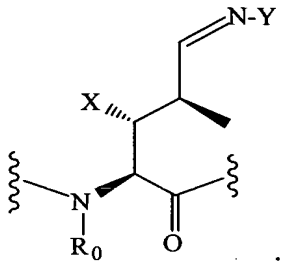
57. A compound according to claim 54, wherein the compound has the following formula:



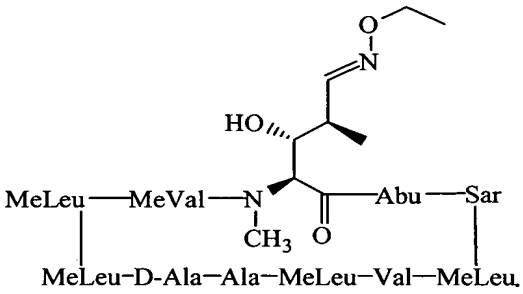
58. A compound according to claim 56, wherein the compound has the following formula:



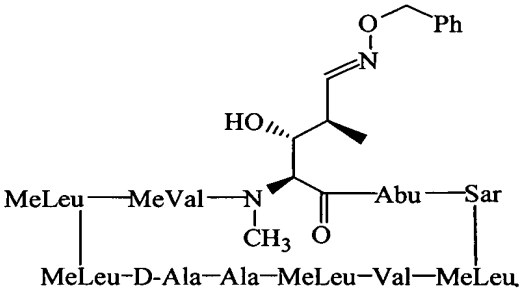
59. A compound according to claim 1, wherein A is an amino acid of the following formula:



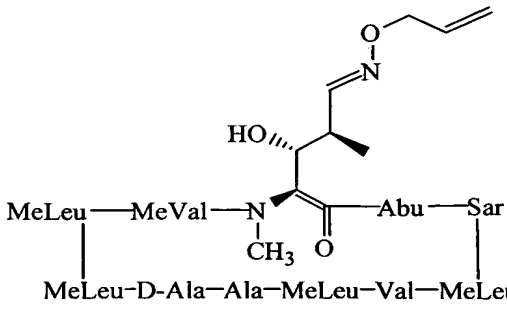
60. A compound according to claim 59, wherein the compound has the following formula:



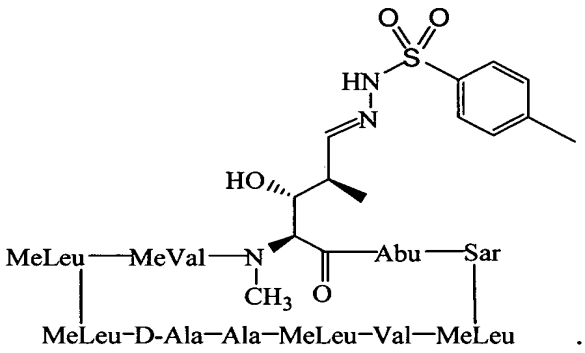
61. A compound according to claim 59, wherein the compound has the following formula:



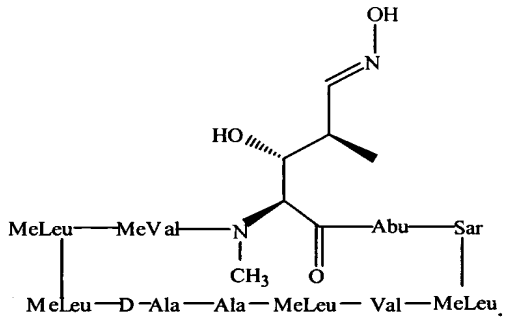
62. A compound according to claim 59, wherein the compound has the following formula:



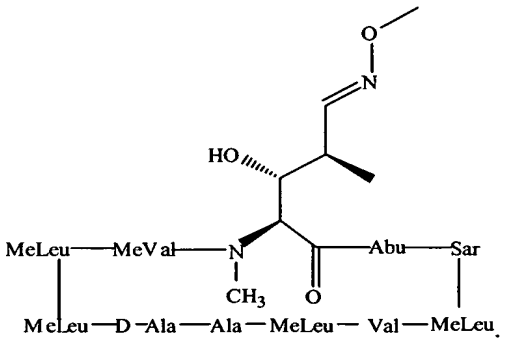
63. A compound according to claim 59, wherein the compound has the following formula:



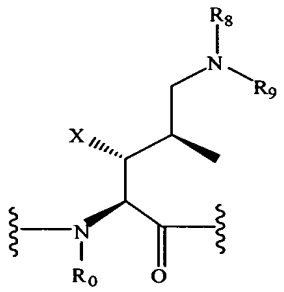
64. A compound according to claim 59, wherein the compound has the following formula:



65. A compound according to claim 59, wherein the compound has the following formula:

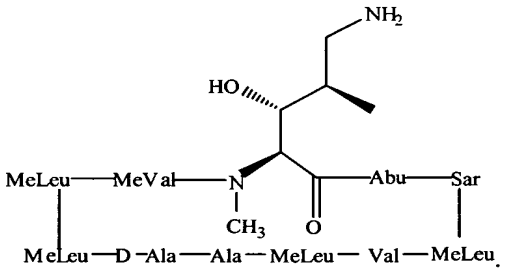


66. A compound according to claim 1, wherein A is an amino acid of Formula (VIII):

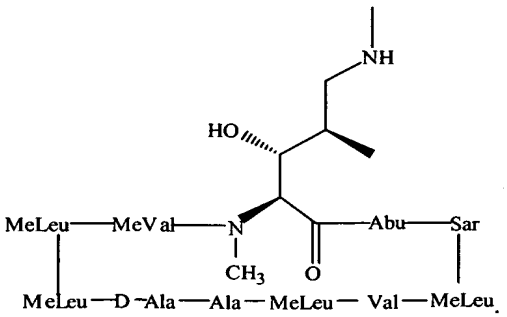


Formula VIII.

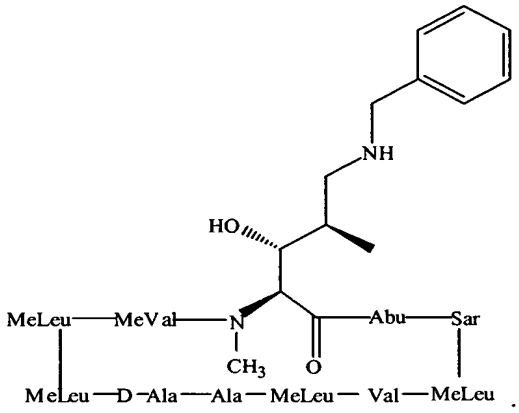
67. A compound according to claim 66, wherein the compound has the following formula:



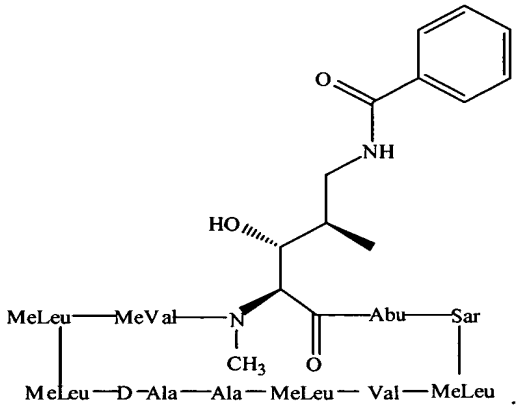
68. A compound according to claim 66, wherein the compound has the following formula:



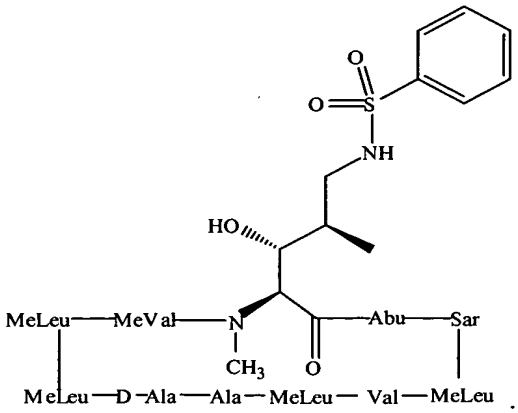
69. A compound according to claim 66, wherein the compound has the following formula:



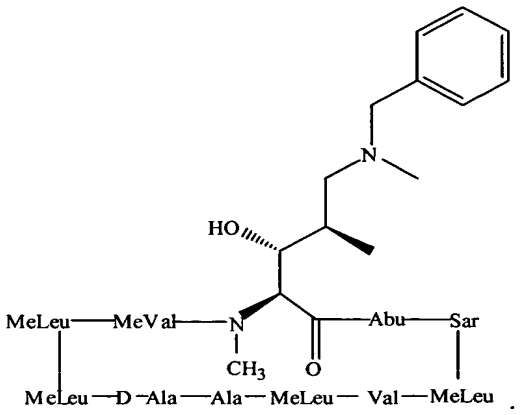
70. A compound according to claim 66, wherein the compound has the following formula:



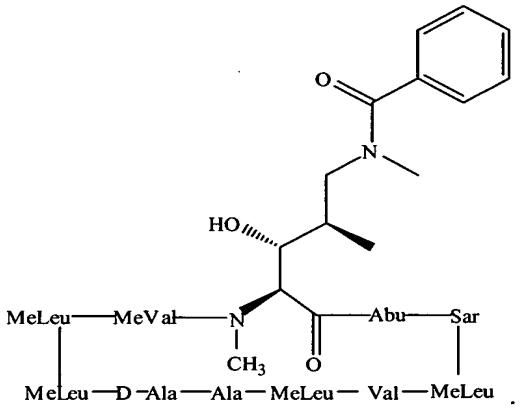
71. A compound according to claim 66, wherein the compound has the following formula:



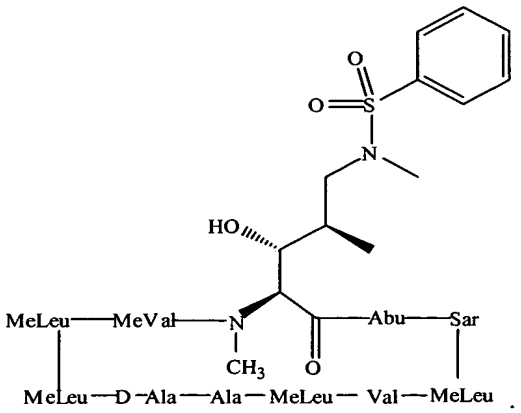
72. A compound according to claim 66, wherein the compound has the following formula:



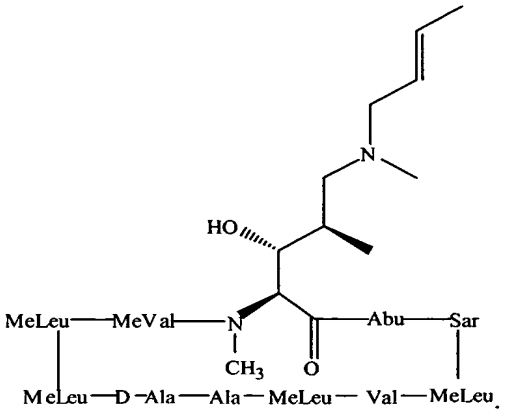
73. A compound according to claim 66, wherein the compound has the following formula:



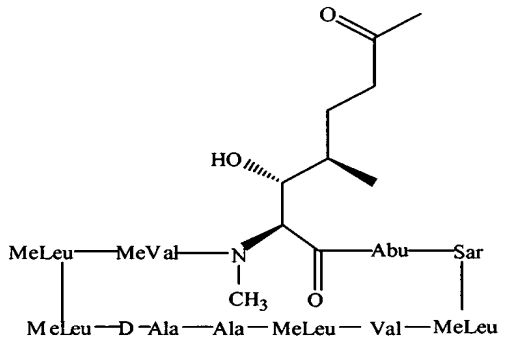
74. A compound according to claim 66, wherein the compound has the following formula:



75. A compound according to claim 67, wherein the compound has the following formula:

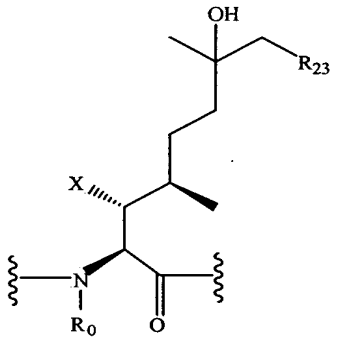


76. A compound according to claim 2, wherein the compound has the following formula:



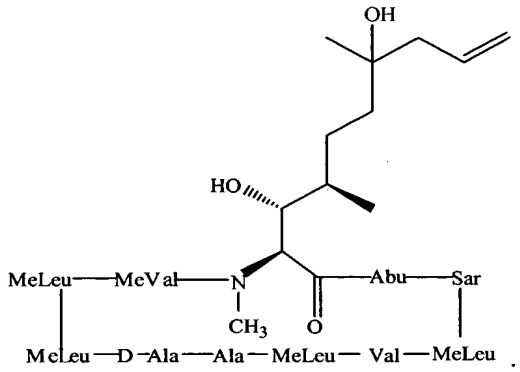
Formula XI.

77. A compound according to claim 1, wherein A is an amino acid of Formula (XIII):

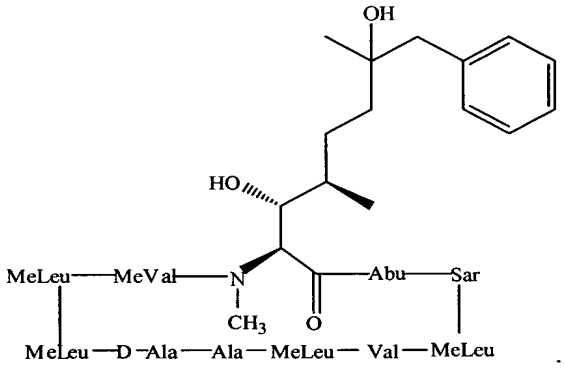


Formula XIII.

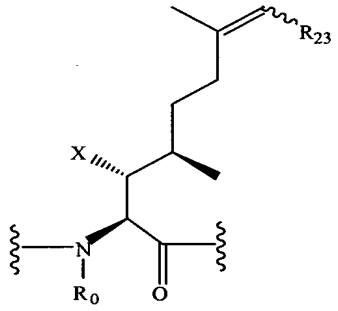
78. A compound according to claim 77, wherein the compound has the following formula:



79. A compound according to claim 77, wherein the compound has the following formula:

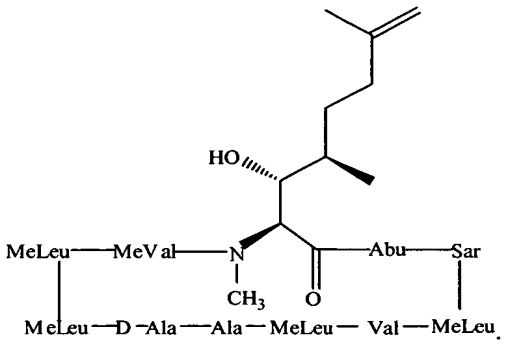


80. A compound according to claim 1, wherein A is an amino acid of Formula (XII):

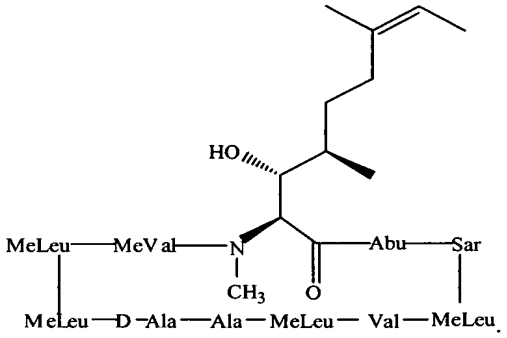


Formula XII.

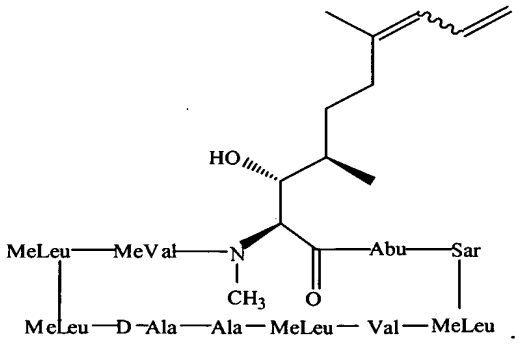
81. A compound according to claim 80, wherein the compound has the following formula:



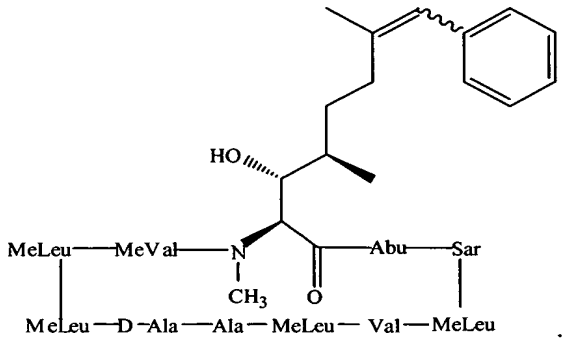
82. A compound according to claim 80, wherein the compound has the following formula:



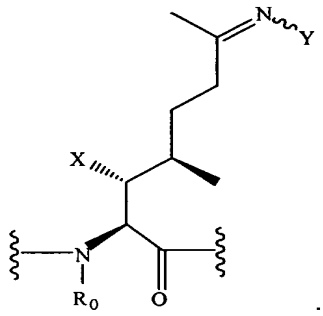
83. A compound according to claim 80, wherein the compound has the following formula:



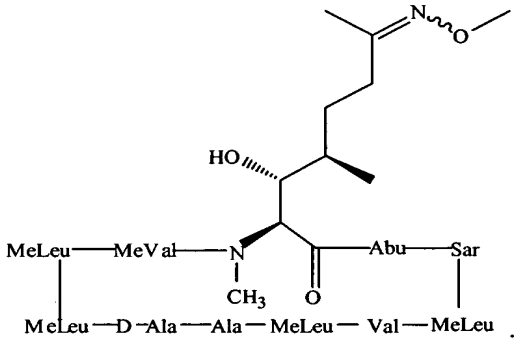
84. A compound according to claim 80, wherein the compound has the following formula:



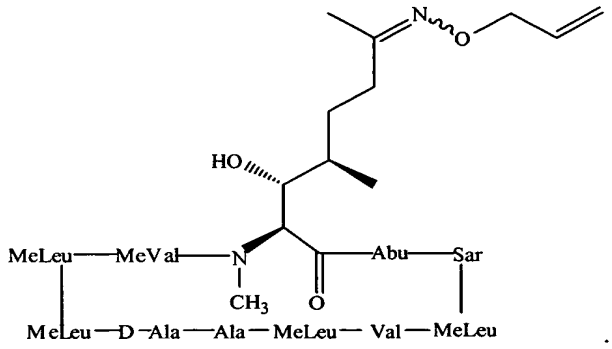
85. A compound according to claim 1, wherein A is an amino acid of the following formula:



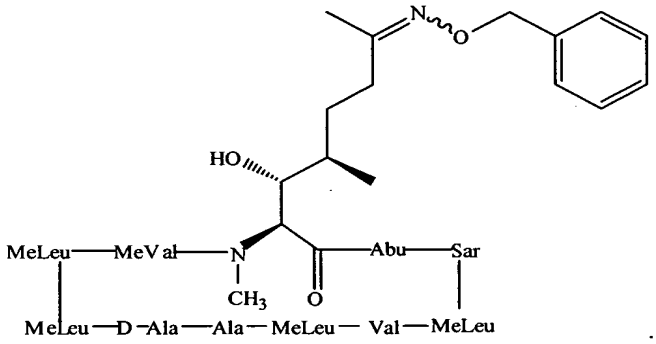
86. A compound according to claim 85, wherein the compound has the following formula:



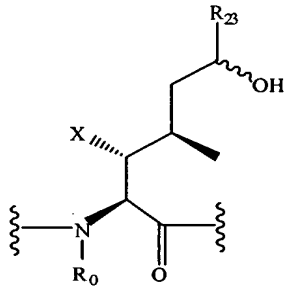
87. A compound according to claim 85, wherein the compound has the following formula:



88. A compound according to claim 86, wherein the compound has the following formula:

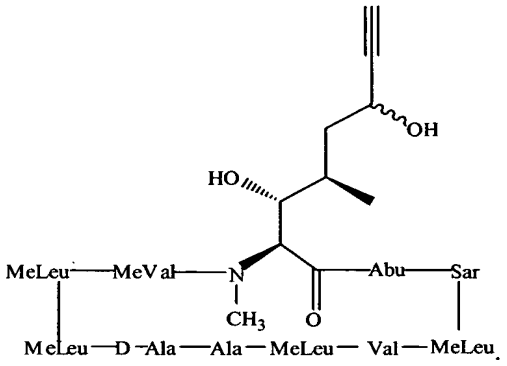


89. A compound according to claim 1, wherein A is an amino acid of Formula (XV):

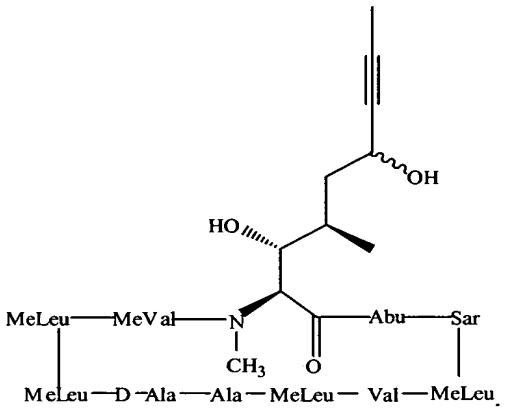


Formula XV.

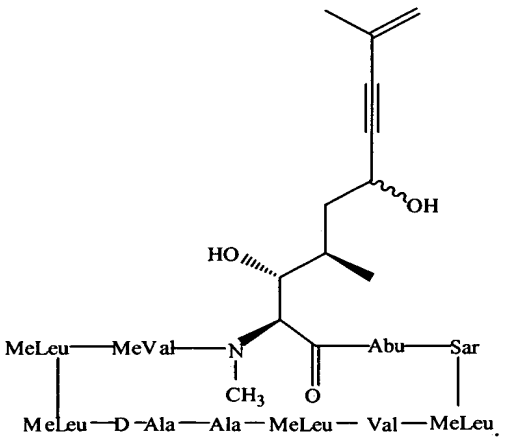
90. A compound according to claim 89, wherein the compound has the following formula:



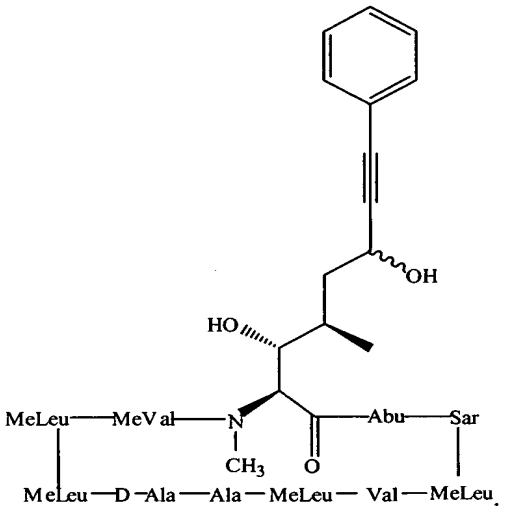
91. A compound according to claim 89, wherein the compound has the following formula:



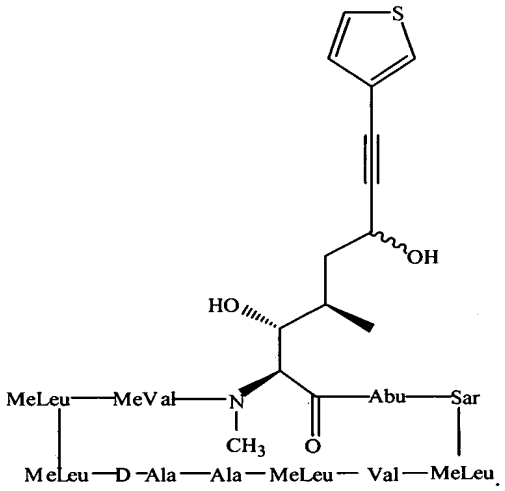
92. A compound according to claim 89, wherein the compound has the following formula:



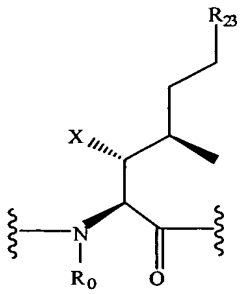
93. A compound according to claim 89, wherein the compound has the following formula:



94. A compound according to claim 89, wherein the compound has the following formula:

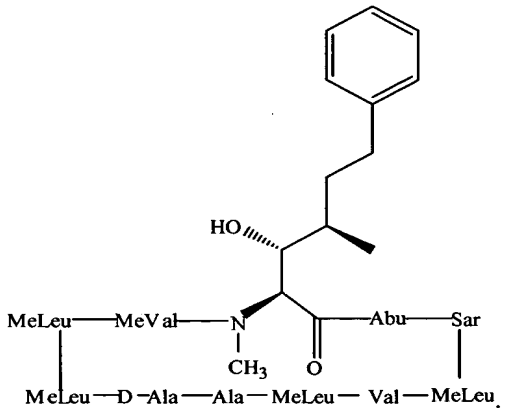


95. A compound according to claim 1, wherein A is an amino acid of Formula (XVI):

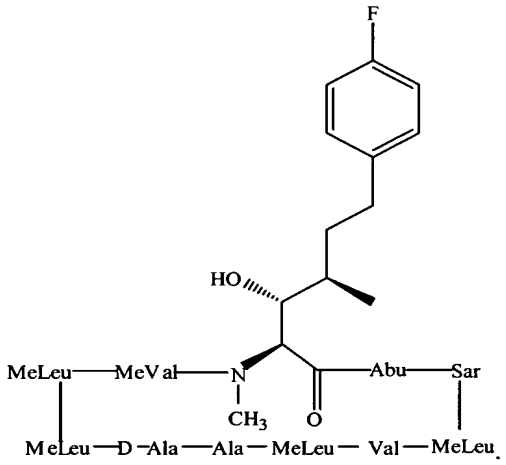


Formula XVI.

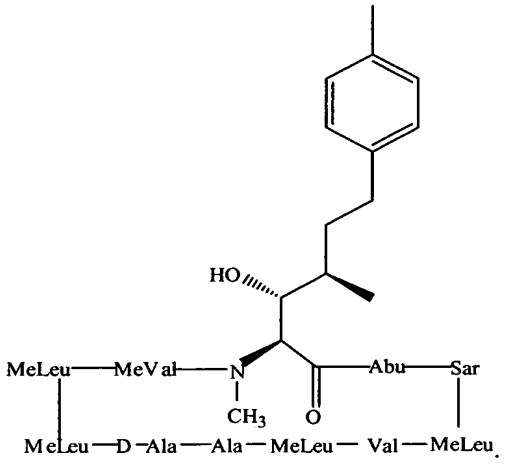
96. A compound according to claim 95, wherein the compound has the following formula:



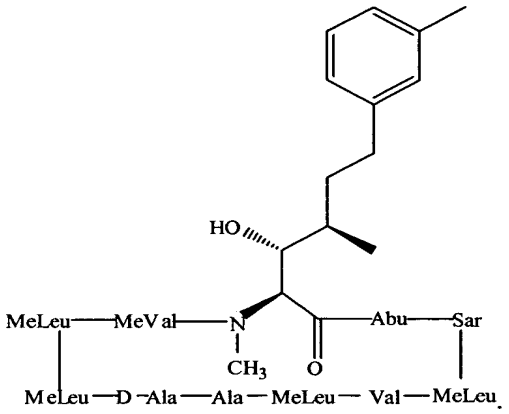
97. A compound according to claim 95, wherein the compound has the following formula:



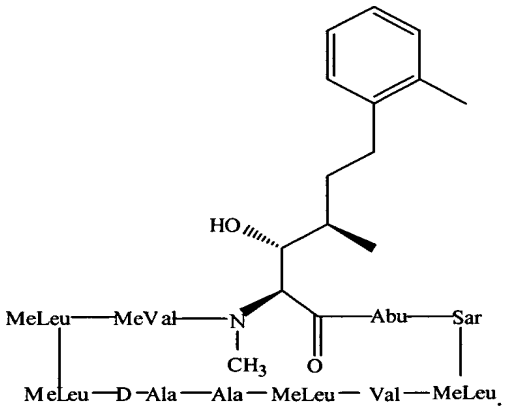
98. A compound according to claim 95, wherein the compound has the following formula:



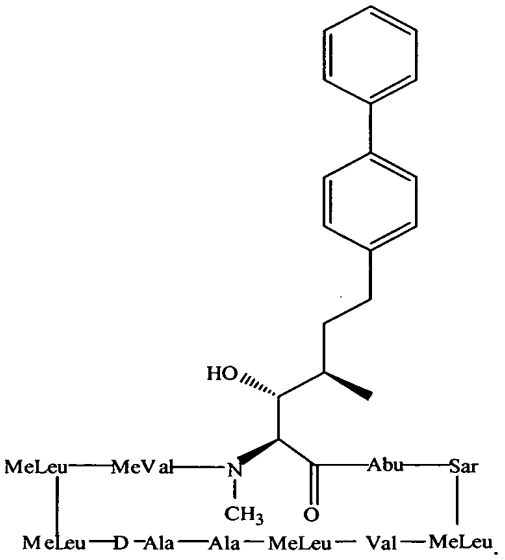
99. A compound according to claim 95, wherein the compound has the following formula:



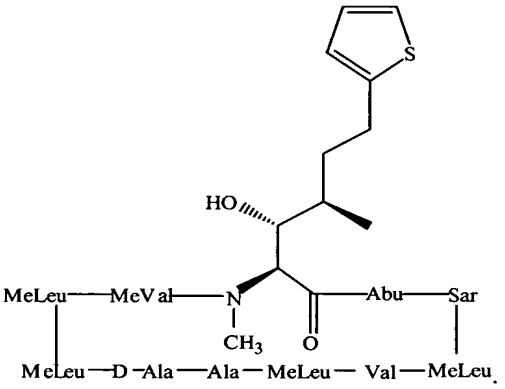
100. A compound according to claim 95, wherein the compound has the following formula:



101. A compound according to claim 95, wherein the compound has the following formula:

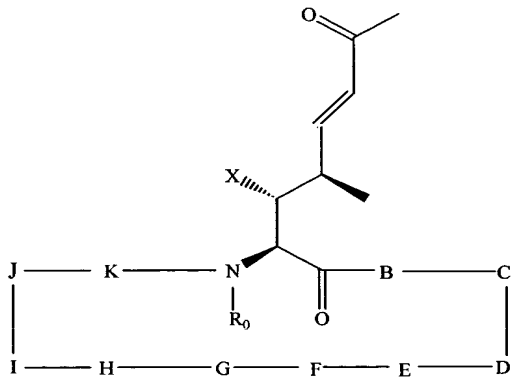


102. A compound according to claim 95, wherein the compound has the following formula:



103. A pharmaceutical composition comprising a therapeutically effective amount of the compound of claim 1 and one or more pharmaceutical excipients.

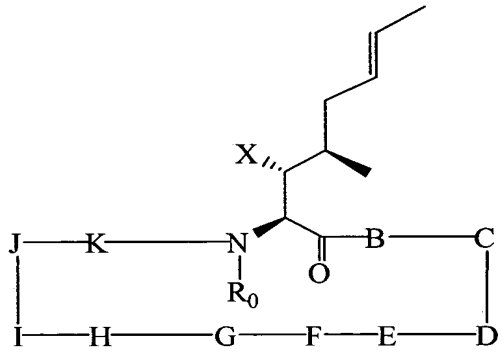
104. A process for preparation of a product compound of the formula:



Formula III

said process comprising:

biocatalytically converting a starting compound of the formula:



wherein:

R_0 is H or CH_3:

X = hydrogen;
hydroxyl; or
hydroxyl group derivatized with an alkanoyl, aryloyl, alkylaminocarbonyl, arylaminocarbonyl, arylalkylaminocarbonyl, alkyloxycarbonyl, aryloxycarbonyl, or arylalkyloxycarbonyl group;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and

a modified α–aminobutyric acid, alanine, valine, or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

105. The process of claim 104 wherein said biocatalytically converting is carried out in the presence of a laccase catalyst and a mediator compound.

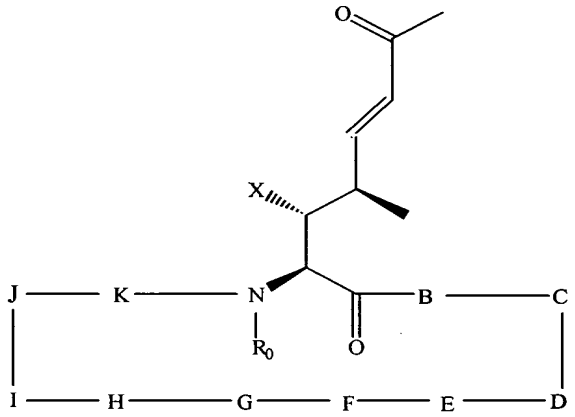
106. The process of claim 105, wherein the laccase catalyst is derived from a microbial or plant source selected from the group consisting of *T. versicolor, T. villosa, P. ostreatus,* and *P. versicolor*, and combinations thereof.

107. The process of claim 106, wherein the laccase catalyst is derived from *T. versicolor*.

108. The process of claim 105, wherein the mediator compound is selected from the group consisting of 2,2'-azinobis(3-ethylbenzothiazoline)-6-sulfonic acid, 1-hydroxybenzotriazole, violuric acid, N-hydroxyacetanilide, and combinations thereof.

109. The process of claim 108, wherein the mediator compound is 1-hydroxybenzotriazole.

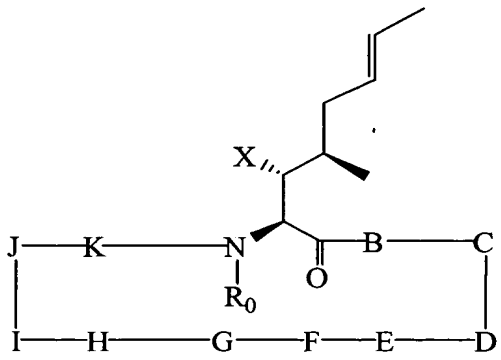
110. A process for preparation of a product compound of the formula:



Formula III

said process comprising:

chemically oxidizing a starting compound of the formula:



wherein

R_0 is H or CH_3:

X = hydrogen;
hydroxyl; or
hydroxyl group derivatized with an alkanoyl, aryloyl, alkylaminocarbonyl, arylaminocarbonyl, arylalkylaminocarbonyl, alkyloxycarbonyl, aryloxycarbonyl, or arylalkyloxycarbonyl group;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline;
and a modified valine or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

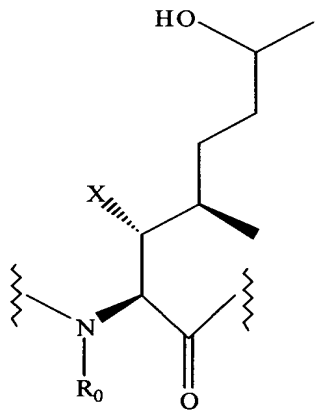
under conditions effective to produce the product compound.

111. A process for preparation of a product compound of the formula: The process of claim 110, wherein said chemically oxidizing is carried out with an N-hydroxydicarboxylic acid imide.

112. The process of claim 110, wherein said chemically oxidizing is carried out with an alkali metal periodate and an alkyl hydroperoxide.

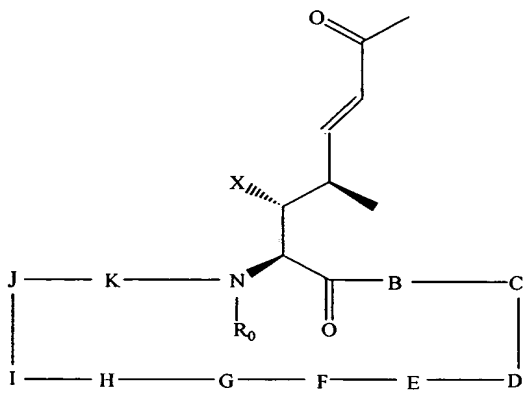
113. The process of claim 112, wherein the chemically oxidizing is carried out in the presence of a crown ether in an acetone-benzene-water solvent mixture.

114. A process of preparation of a product compound of the formula:



said process comprising:

reducing a compound of the formula:



wherein:

X = OH;

$R_0 = CH_3$;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline;
and a modified valine or norvaline where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

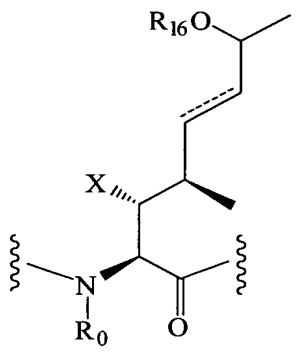
I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

115. The process of claim 114 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



wherein

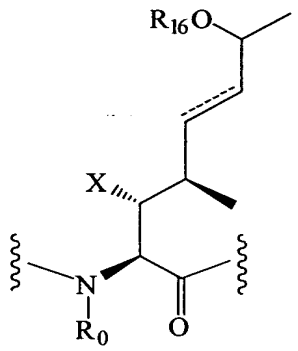
X is OH or OAc;

R_{16} is H or Ac.

116. The process of claim 115, wherein said reacting comprises treating the product compound with acetic anhydride, DMAP, and pyridine in dichloromethane.

117. The process of claim 114 further comprising:

reacting the product compound under conditions effective to form a third product compound of the formula:



wherein
X is OH;
R_{16} is butyrate.

118. The process of claim 117, wherein said reacting comprises reacting the product compound with an acyl donor compound in the presence of a lipase.

119. The process of claim 118, wherein said reacting is carried out in an organic solvent selected from the group consisting of toluene, methyl-*tert*-butyl ether, pyridine, or mixtures thereof, and mixtures with N,N-dimethyl formamide.

120. The process of claim 119, wherein the organic solvent is methyl-*tert*-butyl ether.

121. The process of claim 118, wherein the acyl donor compound is a vinyl butyrate.

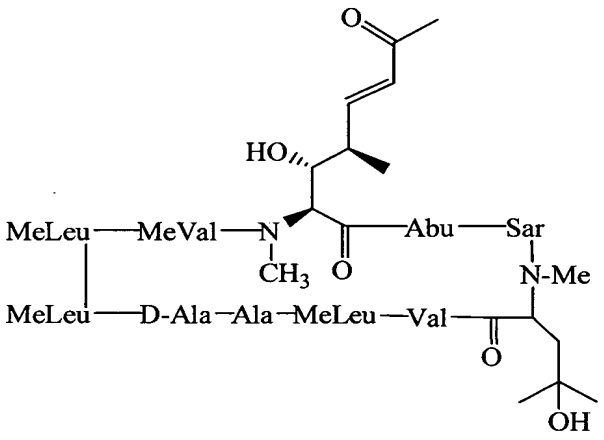
122. The process of claim 118, wherein the lipase is from *Pseudomonas cepacia* or *Pseudomonas fluorescens*.

123. The process of claim 118, wherein the lipase is a native lipase.

124. The process of claim 118, wherein the lipase is a genetically modified lipase.

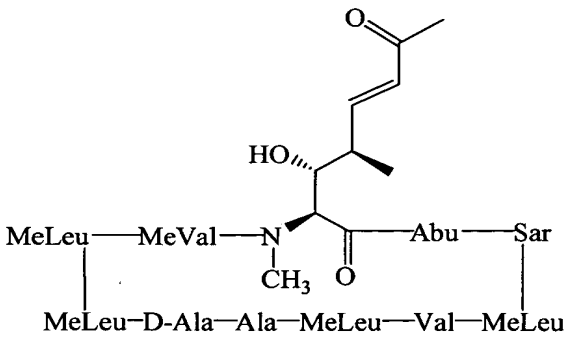
125. The process of claim 118, wherein the lipase is immobilized to a solid support.

126. A process of preparation of a product compound of the formula:



said process comprising:

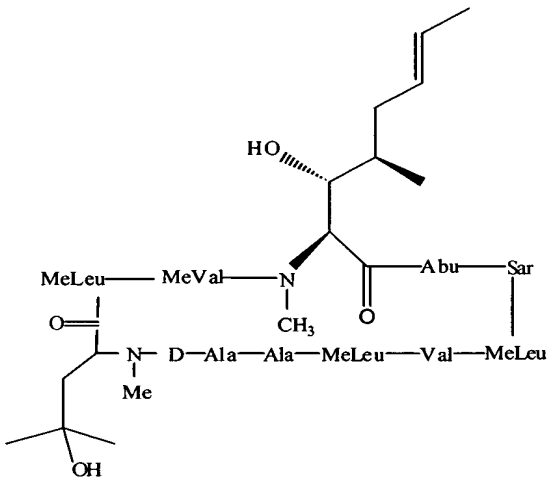
treating a compound of the formula:



under conditions effective to produce the product compound.

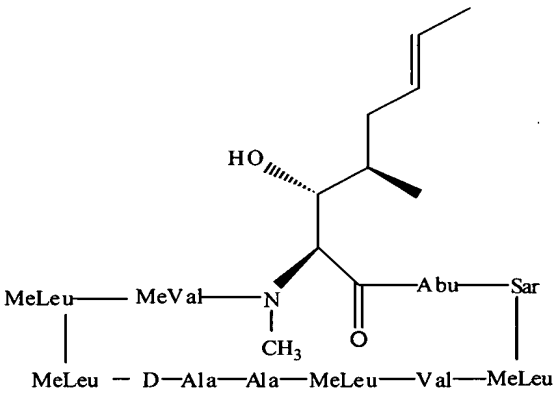
127. The process of claim 126, wherein said treating is carried out in the presence of *Saccharopolyspora hirsute* subspecie *hirsuta* (27875-ATCC).

128. A process of preparation of a product compound of the formula:



said process comprising:

treating a compound of the formula:

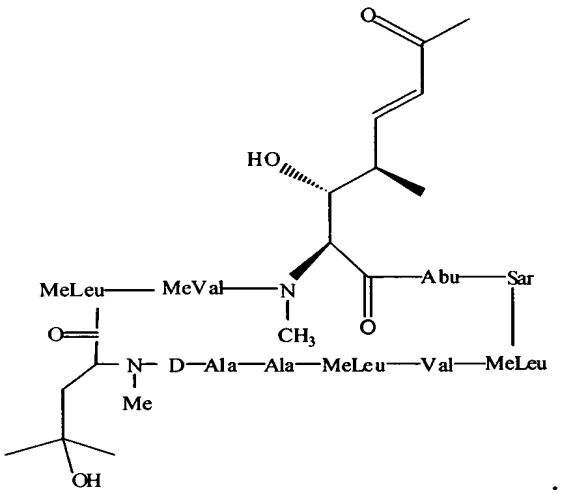


under conditions effective to produce the product compound.

129. The process of claim 128, wherein said treating is carried out in the presence of *Streptomyces catenulae* (23893-ATCC).

130. The process of claim 128 further comprising:

treating the product compound under conditions effective to produce a second product compound of the formula:

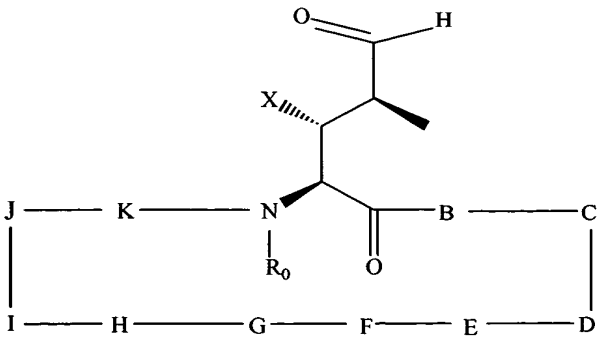


131. The process of claim 130, wherein said treating is carried out in the presence of a laccase catalyst and a mediator compound.

132. The process of claim 131, wherein the laccase catalyst is laccase c.

133. The process of claim 131, wherein the mediator compound is 1-hydroxybenzotriazole.

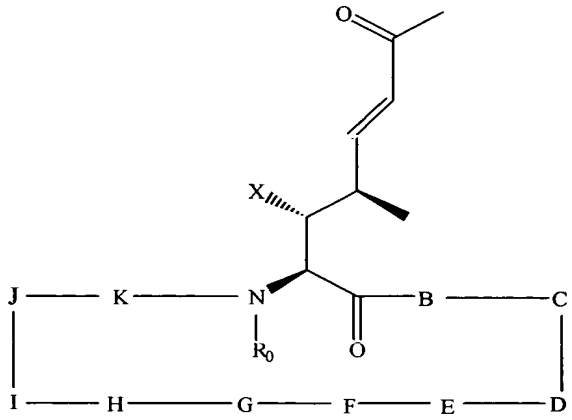
134. A process of preparation of a product compound of the formula:



Formula V

said process comprising:

treating a compound of the formula:



Formula III

wherein:

$R_0 = CH_3$;

X = OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid; alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and

γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

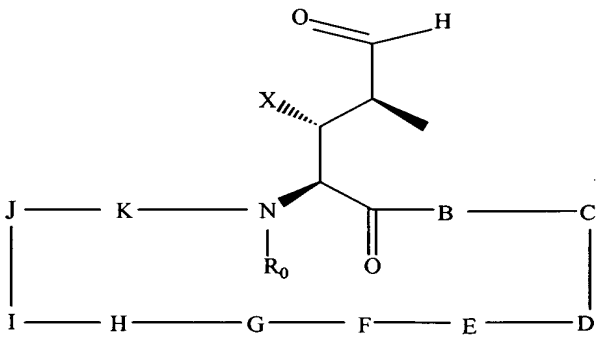
I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

135. The process of claim 134, wherein said treating is carried out in the presence of ozone in dicholoromethane followed by zinc/acetic acid or dimethyl sulfide.

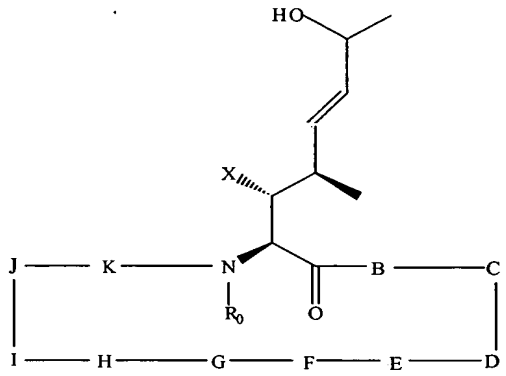
136. A process of preparation of a product compound of the formula:



Formula V

said process comprising:

treating a compound of the formula:



Formula IV

wherein:

$R_0 = CH_3$;

X = OH;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

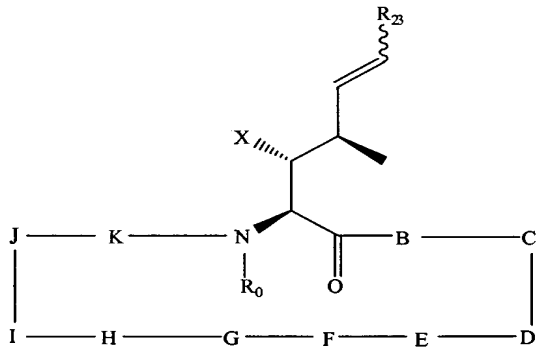
I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

137. The process of claim 136, wherein said treating is carried out in the presence of ozone in dicholoromethane followed by zinc/acetic acid or dimethyl sulfide.

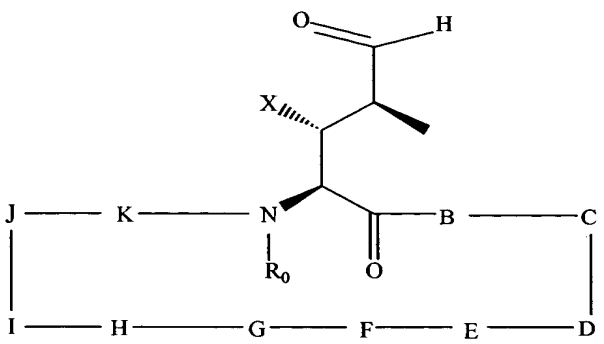
138. A process of preparation of a product compound of the formula:



Formula VI

said process comprising:

treating a compound of the formula:



Formula V

wherein:

$R_0 = CH_3$;

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;

$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:
hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

X = OH or OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;

γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

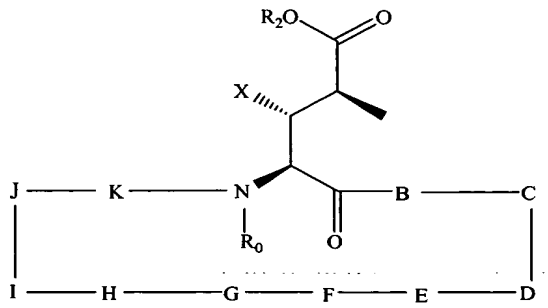
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

139. The process of claim 138, wherein said treating is carried out in the presence of $R_{23}CH_2P^+Ph_3X^-$ or $(EtO)_2P(O)CH_2R_{23}$.

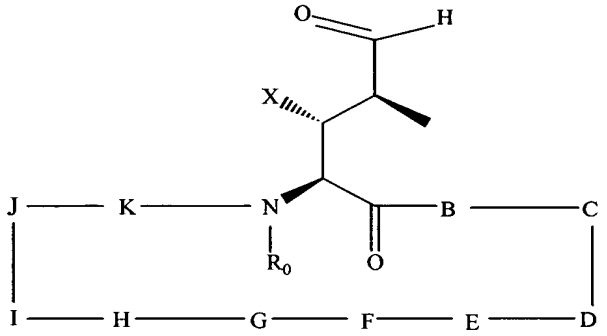
140. The process of claim 138, wherein said treating is carried out in the presence of $CrCl_2$ and vinyl halides selected from the group consisting of CHI_3, $CHBr_3$, and $CHCl_3$.

141. A process of preparation of a product compound of the formula:



said process comprising:

treating a compound of the formula:



Formula V

wherein:

$R_0 = CH_3$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

n = 0, 1, 2, 3 or 4;

X = OH or OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

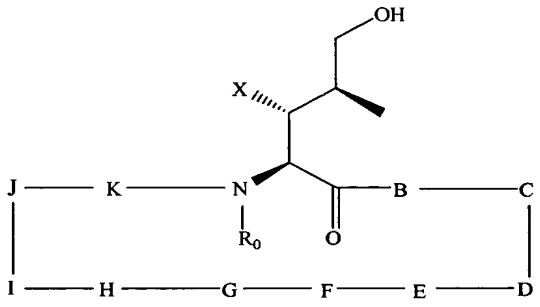
I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

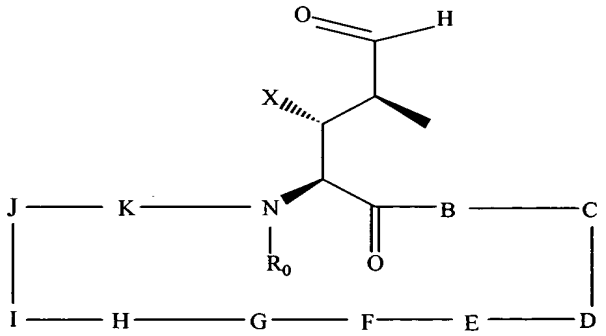
142. The process of claim 141, wherein said treating is carried out in the presence of *tert*-butyl hypochlorite.

143. A process of preparation of a product compound of the formula:



said process comprising:

treating a compound of the formula:



Formula V

wherein:

$R_0 = CH_3$;

X = OH or OAc;

B is an amino acid selected from the group consisting of:

α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

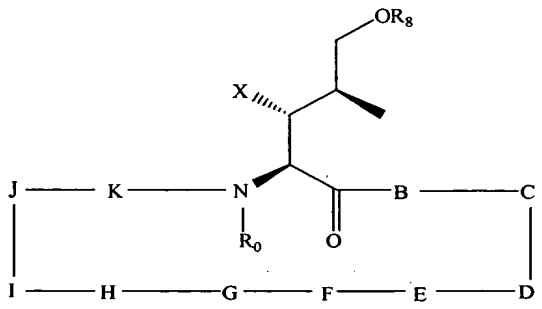
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

144. The process of claim 143, wherein said treating is carried out in the presence of sodium borohydride.

145. The process of claim 143 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



Formula VII

wherein:

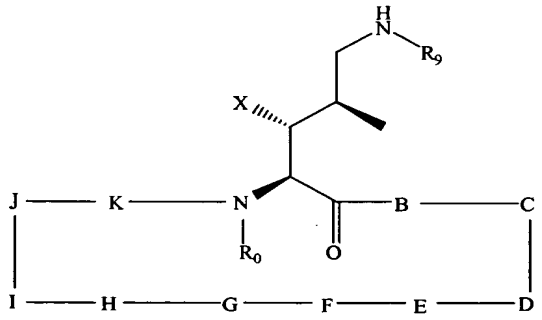
R_8 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
alkanoyl;
alkenoyl;
alkynoyl;
aryloyl;
arylalkanoyl;
alkylaminocarbonyl;
arylaminocarbonyl;
arylalkylaminocarbonyl;
alkyloxycarbonyl;
aryloxycarbonyl; and
arylalkyloxycarbonyl; and

n = 0, 1, 2, 3 or 4.

146. The process of claim 145, wherein said reacting is carried out in the presence of acid chloride.

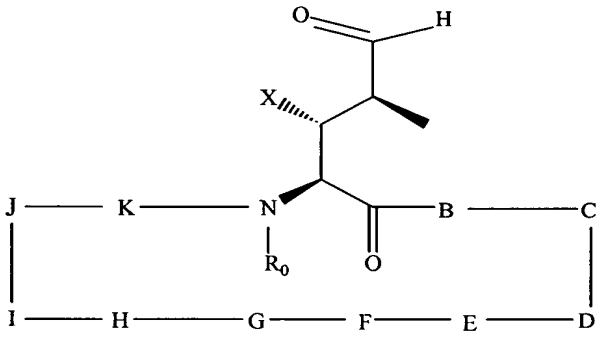
147. The process of claim 145, wherein said reacting is carried out in the presence of acid anhydride.

148. A process of preparation of a product compound of the formula:



said process comprising:

treating a compound of the formula:



Formula V

wherein:

$R_0 = CH_3$;

R_9 = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;

substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:
hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

X = OH or OAc;

B is an amino acid selected from the group consisting of:

α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

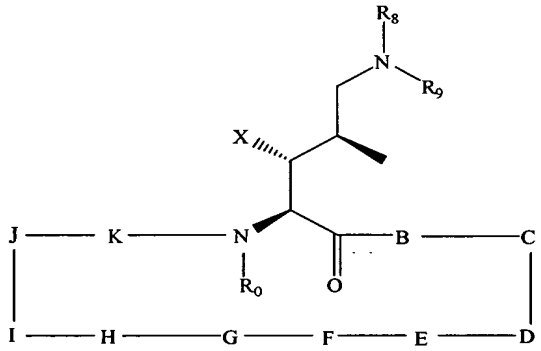
under conditions effective to produce the product compound.

149. The process of claim 148, wherein said treating is carried out in the presence of ammonium acetate and sodium cyanoborohydride.

150. The process of claim 148, wherein said treating is carried out in the presence of methylamine and sodium borohydride.

151. The process of claim 148 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



Formula VIII

wherein:

R_8 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
alkanoyl;
alkenoyl;
alkynoyl;
aryloyl;
arylalkanoyl;
alkylaminocarbonyl;
arylaminocarbonyl;
arylalkylaminocarbonyl;
alkyloxycarbonyl;
aryloxycarbonyl; and
arylalkyloxycarbonyl,

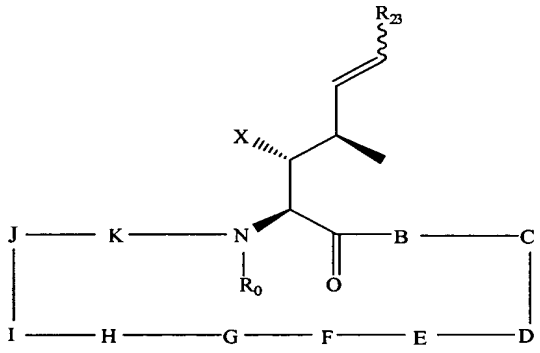
under conditions effective to produce the product compound.

152. The process of claim 151, wherein said reacting is carried out in the presence of alkyl halide selected from the group consisting of alkyl bromide, alkyl chloride, and alkyl iodide.

153. The process of claim 151, wherein said reacting is carried out in the presence of acid anhydride or acid chloride.

154. The process of claim 151, wherein said reacting is carried out in the presence of sulfonic acid anhydride or sulfonyl chloride.

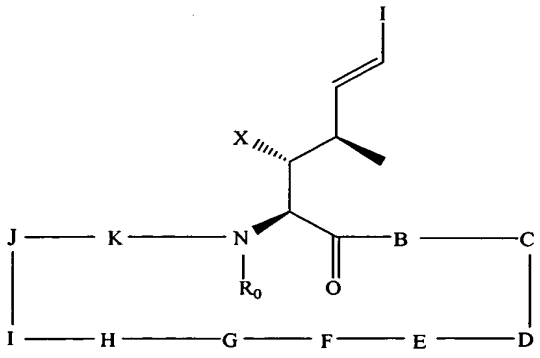
155. A process of preparation of a product compound of the formula:



Formula VI

said process comprising:

treating a compound of the formula:



wherein:

$R_0 = CH_3$;

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:
hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;

C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

X = OH or OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

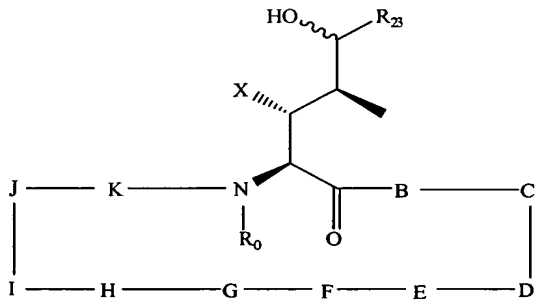
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

156. The process of claim 155, wherein said treating is carried out in the presence of an organotin reagent and palladium catalyst selected from the group consisting of $Pd(PPh_3)_4$ and $Pd(PPh_3)_2Cl_2$.

157. The process of claim 155, wherein said treating is carried out in the presence of an organozinc reagent and palladium catalyst selected from the group consisting of $Pd(PPh_3)_4$ and $Pd(PPh_3)_2Cl_2$.

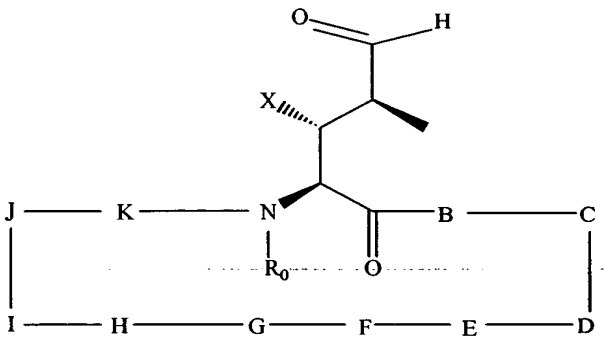
158. A process of preparation of a product compound of the formula:



Formula IX

said process comprising:

treating a compound of the formula:



Formula V

wherein:

$R_0 = CH_3$;

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;

CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:
hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

X = OH or OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and

γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

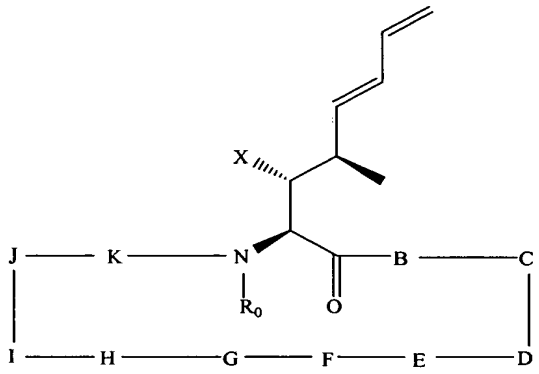
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

159. The process of claim 158, wherein said treating is carried out in the presence of Gringard reagent.

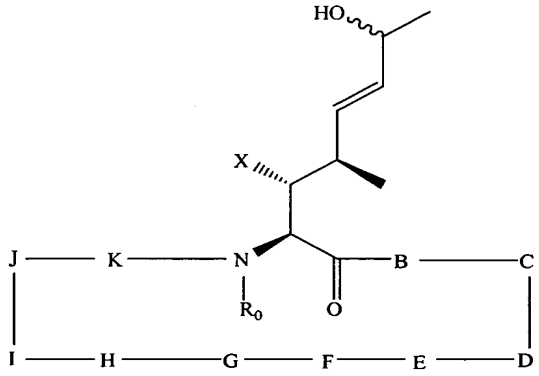
160. The process of claim 158, wherein said treating is carried out in the presence of organozinc reagent.

161. A process of preparation of a product compound of the formula:



said process comprising:

treating a compound of the formula:



wherein:

$R_0 = CH_3$;

X = OH or OAc;

B is an amino acid selected from the group consisting of:

α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:

leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and

γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

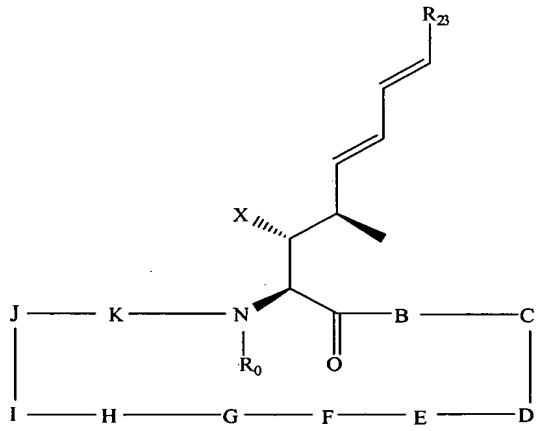
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

162. The process of claim 161, wherein said treating is carried out in the presence of Burgess reagent.

163. The process of claim 161 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



Formula X

wherein:

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;

CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:

hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

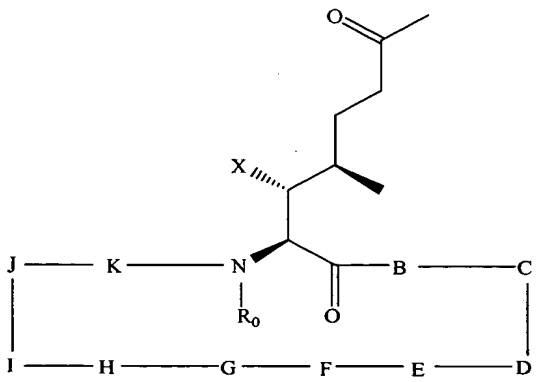
n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3,

under conditions effective to produce the product compound.

164. The process of claim 163, wherein said treating is carried out in the presence of olefin and Grubbs' catalyst.

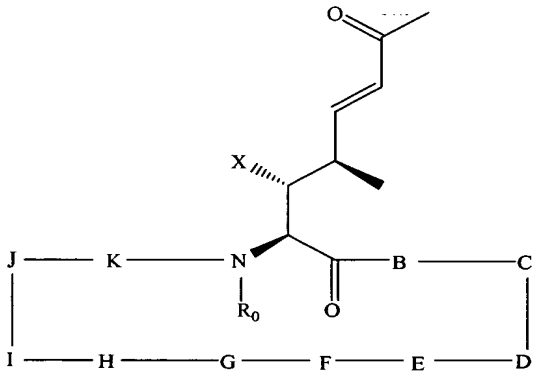
165. A process of preparation of a product compound of the formula:



Formula XI

said process comprising:

treating a compound of the formula:



Formula III

wherein:

$R_0 = CH_3$;

X = OH or OAc;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;

N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

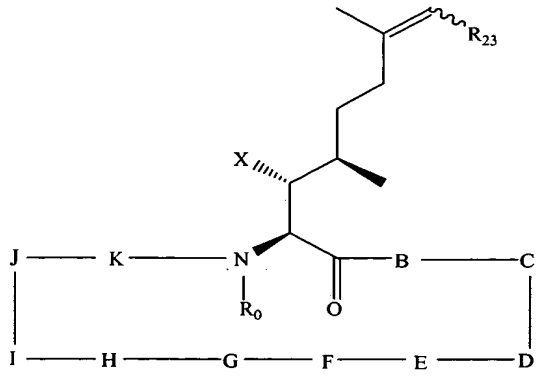
K is N-methyl valine or valine,

under conditions effective to produce the product compound.

166. The process of claim 165, wherein said treating is carried out in the presence of hydrogen and palladium catalyst.

167. The process of claim 165 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



Formula XII

wherein:

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;

CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:

hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

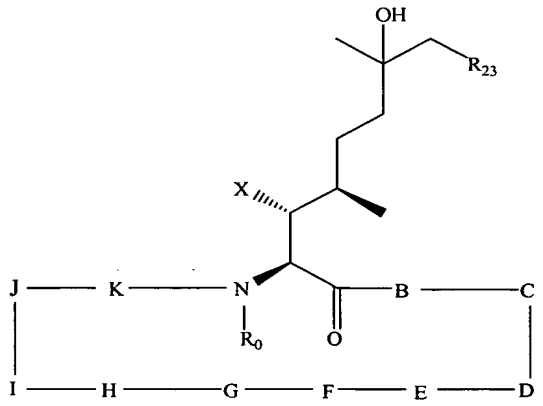
p = 0, 1, 2, or 3,

under conditions effective to produce the product compound.

168. The process of claim 167, wherein said treating is carried out in the presence of phosphorous ylide.

169. The process of claim 165 further comprising:

reacting the product compound under conditions effective to form a second product compound of the formula:



Formula XIII

wherein:

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;

CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:

hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3,

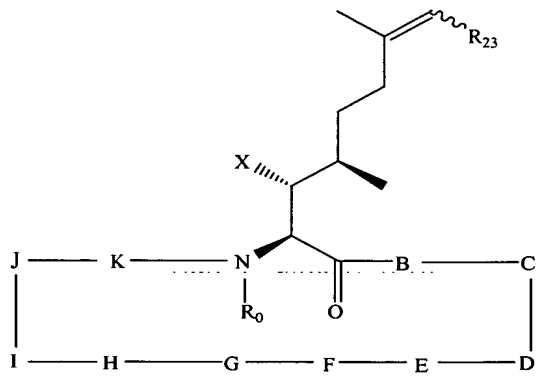
under conditions effective to produce the product compound.

170. The process of claim 169, wherein said treating is carried out in the presence of Grignard reagent.

171. The process of claim 169, wherein said treating is carried out in the presence of organozinc reagent.

172. The process of claim 169 further comprising:

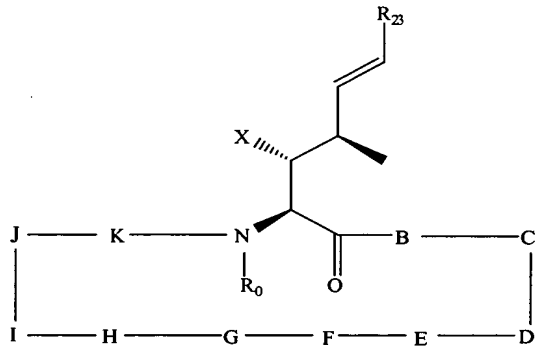
reacting the second product compound under conditions effective to form a third product compound of the formula:



Formula XII.

173. The process of claim 172, wherein said treating is carried out in the presence of Burgess reagent.

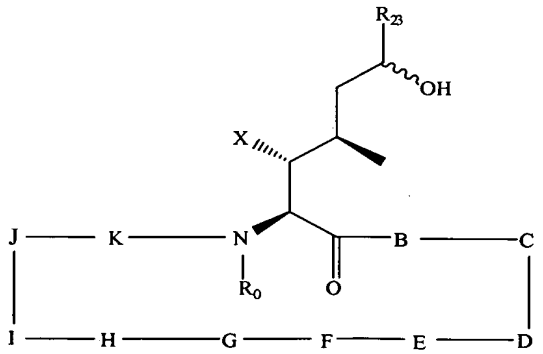
174. A process of preparation of a product compound of the formula:



Formula VI

said process comprising:

treating a compound of the formula:



Formula XV

wherein:

$R_0 = CH_3$;

X = OH or OAc;

R_{23} = hydrogen;
deuterium;
halogen;
hydroxyl;
nitrile;
substituted and unsubstituted C_1-C_6-straight alkyl chain;
substituted and unsubstituted C_2-C_6-straight alkenyl chain;
substituted and unsubstituted C_3-C_6-branched alkyl chain;
substituted and unsubstituted C_4-C_6-branched alkenyl chain;
substituted and unsubstituted C_2-C_6-straight alkynyl chain;
substituted and unsubstituted C_4-C_6-branched alkynyl chain;
substituted and unsubstituted C_4-C_6-chain having alkenyl and alkynyl groups;
substituted and unsubstituted C_3-C_7-cycloalkyl;
substituted and unsubstituted $(CH_2)_p$-(C_3-C_7-cycloalkyl);
substituted and unsubstituted aryl;
substituted and unsubstituted heteroaryl;
substituted and unsubstituted arylalkyl;
substituted and unsubstituted heteroarylalkyl;
COOH;
$COOR_2$; and
$C(O)NR_3R_4$;

R_2 = hydrogen;
C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring;
$(CH_2)_n$-heteroaryl ring;
CH_2OCH_3;
CH_2SCH_3;
CH_2CH_2F;
CH_2CF_3;
$CH_2CH_2CF_3$;
$CH(CF_3)_2$; and
$CH_2OCH_2OC(O)CH_3$;

R_3 and R_4 are the same or different and independently selected from the group consisting of:
hydrogen;

C_1-C_6-straight alkyl chain;
C_3-C_6-straight alkenyl chain;
C_3-C_6-branched alkyl chain;
C_4-C_6-branched alkenyl chain;
C_3-C_6-straight alkynyl chain;
C_3-C_7-cycloalkyl;
CH_2-(C_3-C_7-cycloalkyl);
$(CH_2)_n$-aryl ring; and
$(CH_2)_n$-heteroaryl ring;

R_3 and R_4 are together $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2CH_2CH_2-$ that results in the formation of a cyclic moiety that contains the heteroatom or heteroatoms to which they are bound;

n = 0, 1, 2, 3 or 4;

p = 0, 1, 2, or 3;

B is an amino acid selected from the group consisting of:
α–aminobutyric acid;
alanine;
threonine;
valine;
norvaline; and
a modified α–aminobutyric acid, alanine, valine, or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

C is a sarcosine;

D is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
valine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

E is an amino acid selected from the group consisting of:
valine;
norvaline; and
a modified valine or norvaline, where a carbon atom in a side chain is substituted with a hydroxyl group;

F is an amino acid selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine;

G is α–aminobutyric acid or alanine;

H is D-alanine;

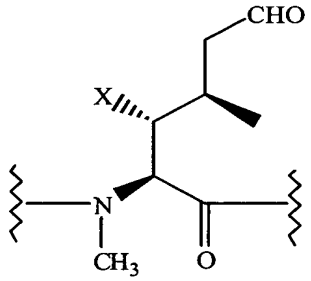
I and J are independently selected from the group consisting of:
leucine;
N-methyl leucine;
γ-hydroxy-N-methyl leucine; and
γ-hydroxy leucine; and

K is N-methyl valine or valine,

under conditions effective to produce the product compound.

175. The process of claim 174, wherein said treating is carried out in the presence of Burgess reagent.

176. The process of claim 174 further comprising:
treating a first intermediate compound of the formula:



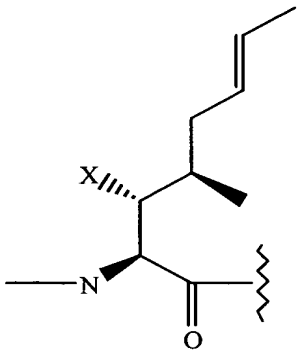
Formula XIV

under conditions effective to form the compound of Formula (XV).

177. The process of claim 176, wherein said treating is carried out in the presence of Grignard reagent.

178. The process of claim 176, wherein said treating is carried out in the presence of organozinc reagent.

179. The process of claim 176 further comprising:
treating a compound of the following formula:

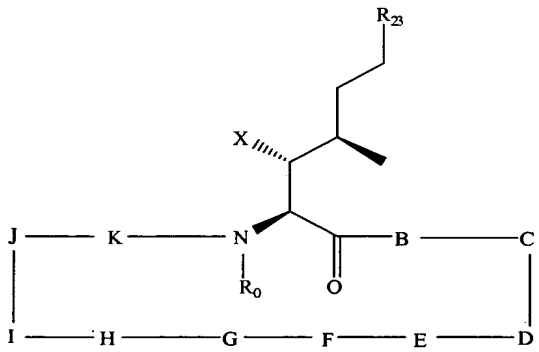


under conditions effective to form the first intermediate compound of Formula (XIV).

180. The process of claim 179, wherein said treating is carried out in the presence of ozone.

181. The process of claim 174 further comprising:

reducing the product compound under conditions effective to form a second product compound of the formula:



Formula XVI.

182. The process of claim 181, wherein said reducing is carried out in the presence of hydrogen and palladium catalyst.

183. A method of suppressing or reducing immune response in a mammal comprising:

administering a therapeutically effective amount of the compound of claim 1 to the said mammal under conditions effective to suppress immune response in a mammal.

184. A method of treating a mammal with a chronic inflammatory or autoimmune disease comprising:

administering a therapeutically effective amount of the compound of claim 1 to the mammal under conditions effective to treat the chronic inflammatory or autoimmune disease.

185. The method of claim 184, wherein the chronic inflammatory or autoimmune disease is selected from the group consisting of asthma, rheumatoid arthritis, multiple sclerosis, psoriasis, and ulcerative colitis.

186. A method of treating a mammal with a neurodegenerative disease comprising:

administering a therapeutically effective amount of the compound of claim 1 to the mammal under conditions effective to treat the neurodegenerative disease.

187. The method of claim 186, wherein the neurogenerative disease is selected from the group consisting of diabetic neuropathy, amyotrophic lateral sclerosis, spinal cord injury, Alzheimer's disease, Parkinson's disease, and stroke.

188. A method of treating a mammal with infectious diseases caused by HIV, fungal pathogens, or parasites, said method comprising:

administering a therapeutically effective amount of the compound of claim 1 to the mammal under conditions effective to treat the infectious disease.